13/08/2003Page 1 10:20 <golam shameen 08/13/2003

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Feb 24	PCTGEN now available on STN
NEWS 4	Feb 24	TEMA now available on STN
NEWS 5	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 6	Feb 26	PCTFULL now contains images
NEWS 7	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8	Mar 24	PATDPAFULL now available on STN
NEWS 9	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 10	Apr 11	Display formats in DGENE enhanced
NEWS 11	Apr 14	MEDLINE Reload
NEWS 12	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 13	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 14	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 15	Apr 28	RDISCLOSURE now available on STN
NEWS 16	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 17	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 18	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19	May 19	Simultaneous left and right truncation added to WSCA
NEWS 20	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 22	Jun 06	PASCAL enhanced with additional data
NEWS 23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 24	Jun 25	HSDB has been reloaded
NEWS 25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
NEWS 26	Jul 21	Identification of STN records implemented
NEWS 27	Jul 21	Polymer class term count added to REGISTRY
NEWS 28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS 29	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:16:08 ON 13 AUG 2003

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:16:22 ON 13 AUG 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 AUG 2003 HIGHEST RN 565411-31-6
DICTIONARY FILE UPDATES: 12 AUG 2003 HIGHEST RN 565411-31-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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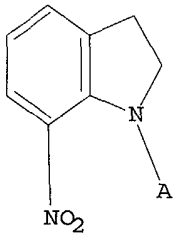
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

13/08/2003Page 3 10:20 <golam shameen 08/13/2003

SAMPLE SEARCH INITIATED 10:16:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 91 TO ITERATE

100.0% PROCESSED 91 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1248 TO 2392
PROJECTED ANSWERS: 9 TO 360

L2 9 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:16:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1852 TO ITERATE

100.0% PROCESSED 1852 ITERATIONS 158 ANSWERS
SEARCH TIME: 00.00.01

L3 158 SEA SSS FUL L1

=> s l3
SAMPLE SEARCH INITIATED 10:16:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 91 TO ITERATE

100.0% PROCESSED 91 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1248 TO 2392
PROJECTED ANSWERS: 9 TO 360

L4 9 SEA SSS SAM L1

=> FIL CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY 148.15 TOTAL SESSION 148.36

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:16:58 ON 13 AUG 2003

FILE COVERS 1907 - 13 Aug 2003 VOL 139 ISS 7
FILE LAST UPDATED: 12 Aug 2003 (20030812/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l3
L5          74 L3

=> s l5 and amino(w)acid?
        939778 AMINO
            43 AMINOS
        939796 AMINO
                  (AMINO OR AMINOS)
       4337168 ACID?
        591117 AMINO(W)ACID?
L6          12 L5 AND AMINO(W)ACID?

=> s l6 and glycine
        127931 GLYCINE
          1650 GLYCINES
        128626 GLYCINE
                  (GLYCINE OR GLYCINES)
L7           4 L6 AND GLYCINE

=> s l6 and GABA
         33619 GABA
            11 GABAS
         33622 GABA
                  (GABA OR GABAS)
L8           3 L6 AND GABA

=> d l8 ibib abs hitstr tot

L8   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:         2002:814100  CAPLUS
DOCUMENT NUMBER:          137:325331
TITLE:                    Preparation of 7-nitroindoline derivatives for use as
                          photochemical precursors cabable of releasing
                          bioactive effector moieties
INVENTOR(S):              Corrie, John Edgar Thomas; Papageorgiou, George
PATENT ASSIGNEE(S):       Medical Research Council, UK
SOURCE:                   PCT Int. Appl., 24 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ----------------  ----  --------       ---------------  --------
     WO 2002083639      A1   20021024       WO 2002-GB971    20020308
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                    GB 2001-9093     A  20010411
OTHER SOURCE(S):          CASREACT 137:325331; MARPAT 137:325331
GI
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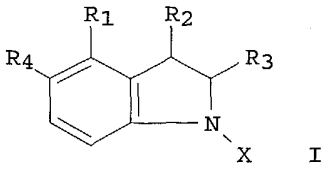


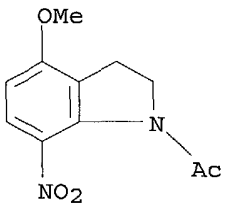
I

AB A process is described for producing 7-nitroindolines, the process comprising reacting a substituted indoline [e.g., I; wherein R1 = alkoxy or substituted alkoxy group; R2, R3, independently = H, alkyl, or R2 and R3 together are cycloalkyl; R4 = alkyl, aryl, etc.; X = effector moiety linked to the nitrogen atom at the 1-position of the indoline ring via an acyl linkage, or is a group which is capable of linkage to an effector moiety] with copper(II) nitrate and acetic anhydride to produce the 7-nitroindoline. For example, 1-{[S-(4-tert-butoxycarbonyl)-4-(tert-butoxycarbonylamino)]butanoyl}-4-methoxyindoline was reacted with clay supported copper(II) nitrate and acetic anhydride in CCl4 to give, among other products, 43% 1-{[S-(4-tert-butoxycarbonyl)-4-(tert-butoxycarbonylamino)]butanoyl}-4-methoxy-7-nitroindoline. The prepd. compds. are useful to deliver biol. active effector moieties such as neuroactive **amino acids** or metal chelators to sites where their activity is required.

IT **295325-60-9P 295325-62-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 295325-60-9 CAPLUS

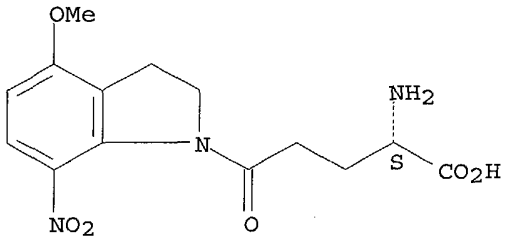
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)



RN 295325-62-1 CAPLUS

CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

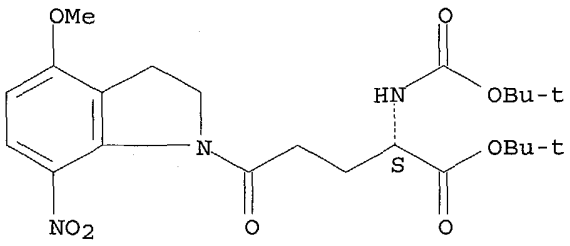
Absolute stereochemistry.



IT **444189-55-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nitroindole derivs. by nitration with copper(II) nitrate and

acetic anhydride)
RN 444189-55-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



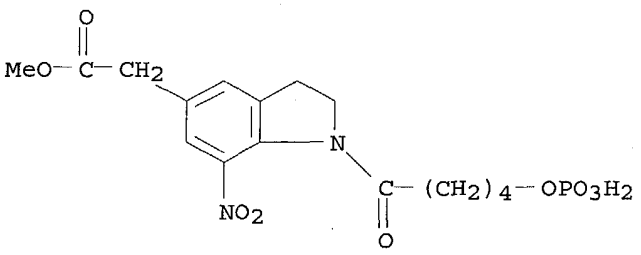
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:759359 CAPLUS
DOCUMENT NUMBER: 136:210906
TITLE: Photochemical and pharmacological evaluation of 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters
AUTHOR(S): Canepari, M.; Nelson, L.; Papageorgiou, G.; Corrie, J. E. T.; Ogden, D.
CORPORATE SOURCE: National Institute for Medical Research, London, NW7 1AA, UK
SOURCE: Journal of Neuroscience Methods (2001), 112(1), 29-42
CODEN: JNMEDT; ISSN: 0165-0270
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reagents capable of rapid and efficient release of neuroactive **amino acids** (L-glutamate, **GABA** and glycine) upon flash photolysis of thermally stable, inert precursors have been elusive. 7-Nitroindolinyl (NI)-caged and 4-methoxy-7-nitroindolinyl (MNI)-caged compds. that fulfil these criteria are evaluated here. These caged precursors are highly resistant to hydrolysis. Photolysis is fast (half time.ltoreq.0.26 ms) and the conversion achieved with a xenon flashlamp is about 15% for the NI-caged L-glutamate and about 35% for the MNI-caged L-glutamate. A procedure is described for calibration of photolysis in a microscope-based exptl. app. NI-caged L-glutamate itself showed no agonist or antagonist effects on AMPA and NMDA receptors in cultured neurons, and had no effect on climbing fiber activation of Purkinje neurons. A control compd. with identical photochem. that generated an inert phosphate upon photolysis was used to confirm that the intermediates and byproducts of photolysis have no deleterious effects. MNI-caged L-glutamate is as stable and fast as NI-caged L-glutamate and similarly inert at glutamate receptors, but about 2.5 times more efficient. However, NI-caged **GABA** is an antagonist at GABAA receptors and NI-glycine an antagonist at glycine receptors. The results show the utility and limitations of these fast and stable caged neurotransmitters in the investigation of synaptic processes.
IT **239135-33-2 239135-34-3 295325-62-1**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process); USES (Uses)

(photochem. and pharmacol. evaluation of synthetic 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters useful in investigating synaptic neurotransmission)

RN 239135-33-2 CAPLUS

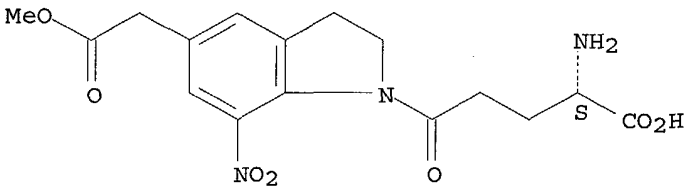
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)



RN 239135-34-3 CAPLUS

CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

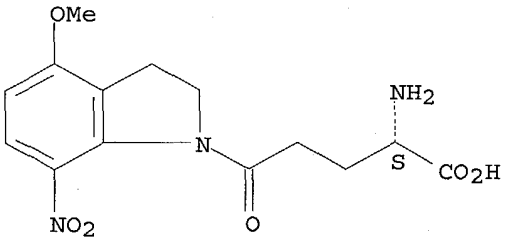
Absolute stereochemistry.



RN 295325-62-1 CAPLUS

CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



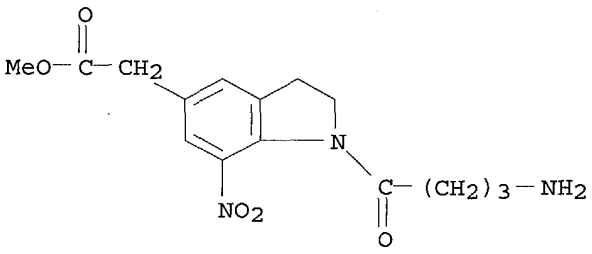
IT **295325-58-5P 402470-76-2P**

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

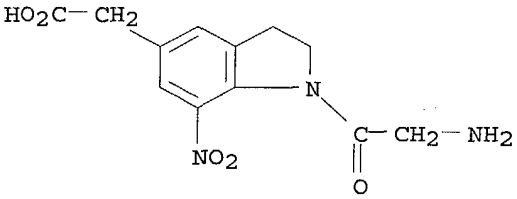
(photochem. and pharmacol. evaluation of synthetic 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters useful in investigating synaptic neurotransmission)

RN 295325-58-5 CAPLUS

CN 1H-Indole-5-acetic acid, 1-(4-amino-1-oxobutyl)-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 402470-76-2 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(aminoacetyl)-2,3-dihydro-7-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:666708 CAPLUS
DOCUMENT NUMBER: 133:252301
TITLE: Preparation of 1-acyl-7-nitroindoline derivatives as photocleavable precursors for release of bioactive effector moieties.
INVENTOR(S): Corrie, John Edgar Thomas; Papageorgiou, George
PATENT ASSIGNEE(S): Medical Research Council, UK
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055133	A1	20000921	WO 2000-GB1039	20000320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1161418	A1	20011212	EP 2000-911095	20000320
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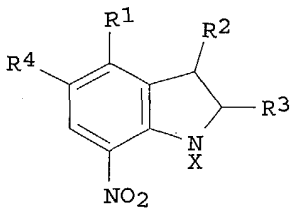
IE, FI
JP 2002539196 T2 20021119 JP 2000-605564 20000320
PRIORITY APPLN. INFO.: GB 1999-6192 A 19990318
WO 2000-GB1039 W 20000320
OTHER SOURCE(S): MARPAT 133:252301
GI



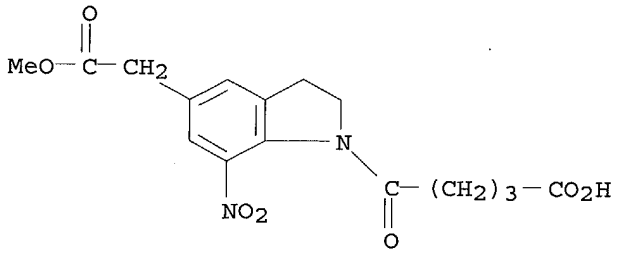
I

AB Photoreleasable compds. comprising a caging moiety linked to an effector moiety [I; R1, R4 = H, (substituted) alkyl, O(CH2)nY; N(COZ)(CH2)mY, N[(CH2)mY1][(CH2)NY]; R2, R3 = H, (substituted) alkyl; R2R3 = cycloalkyl; m, n = 1-10; Y, Y1 = H, CO2H, salts thereof, OPO32-; Z = H, (substituted) alkyl; X = effector moiety or a group capable of being coupled or converted to an effector moiety], which are capable of releasing the effector moiety on irradn., typically by flash irradn. with UV light, were prepd. I can be used to deliver biol. active effector moieties such as neuroactive **amino acids** or metal chelators to sites where their activity is required. Thus, Me 1-[4-(tert-butoxycarbonylamino)butanoyl]indoline-5-acetate (prepn. given) was stirred with NaNO3 in CF3CO2H to give Me 1-(4-aminobutanoyl)-7-nitroindoline-5-acetate as the phosphate salt. This was photolyzed in ammonium phosphate soln. using an Hg arc lamp; at 38% photolysis recovery of **GABA** was 88%.

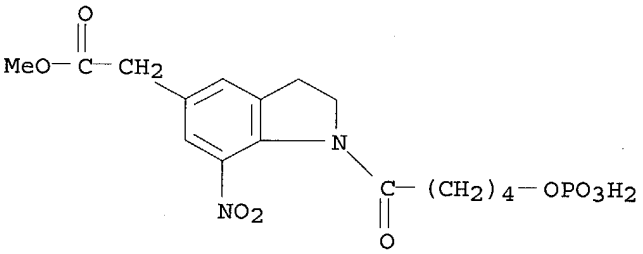
IT **239135-32-1P 239135-33-2P 239135-34-3P**
239135-39-8P 295325-58-5P 295325-59-6P
295325-60-9P 295325-61-0P 295325-62-1P
295325-63-2P 295325-64-3P 295325-65-4P
295325-66-5P 295325-67-6P 295325-68-7P
295325-69-8P 295325-72-3P 295325-74-5P
295325-75-6P 295325-77-8P 295325-78-9P
295325-98-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acyl-7-nitroindoline derivs. as photocleavable precursors for release of bioactive effector moieties)

RN 239135-32-1 CAPLUS

CN 1H-Indole-1-pentanoic acid, 2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)

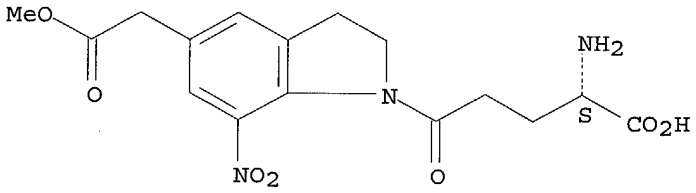


RN 239135-33-2 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)

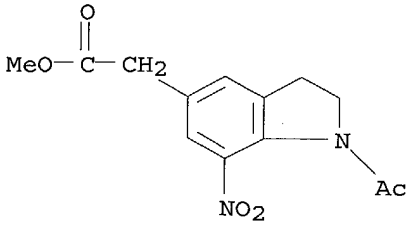


RN 239135-34-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

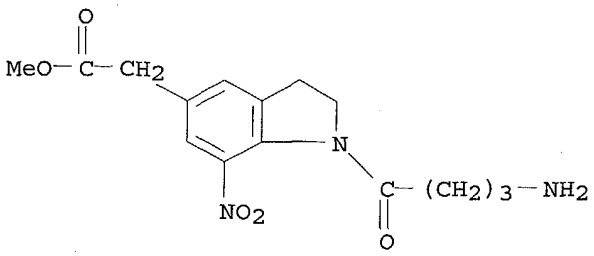


RN 239135-39-8 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)

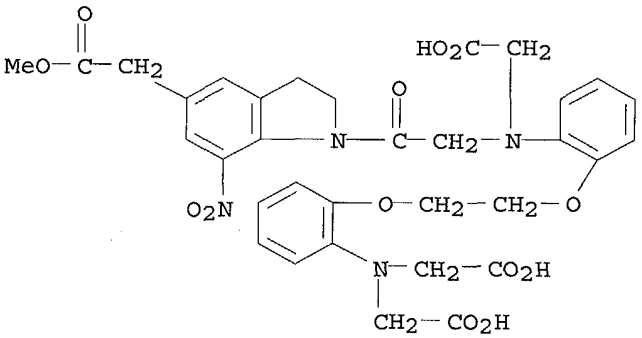


RN 295325-58-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(4-amino-1-oxobutyl)-2,3-dihydro-7-nitro-,

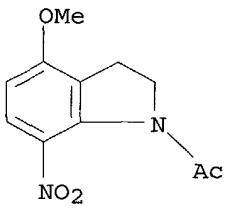
methyl ester (9CI) (CA INDEX NAME)



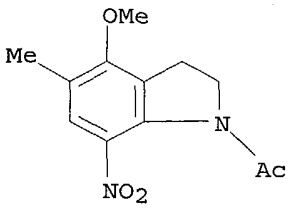
RN 295325-59-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[[[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl](carboxymethyl)amino]acetyl]-2,3-dihydro-7-nitro-, .alpha.-methyl ester (9CI) (CA INDEX NAME)



RN 295325-60-9 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)

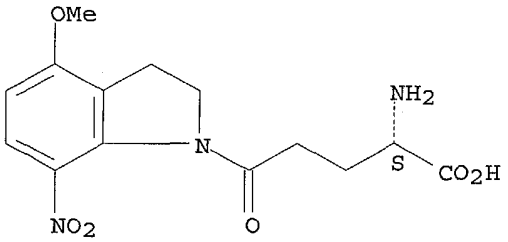


RN 295325-61-0 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-5-methyl-7-nitro- (9CI) (CA INDEX NAME)

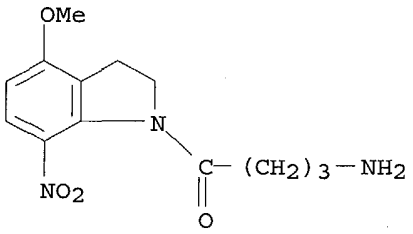


RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

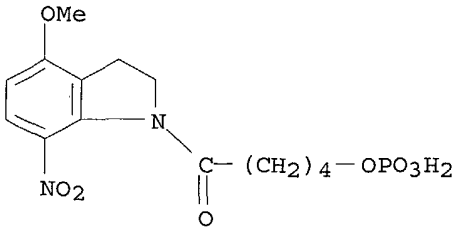
Absolute stereochemistry.



RN 295325-63-2 CAPLUS
CN 1H-Indole, 1-(4-amino-1-oxobutyl)-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)

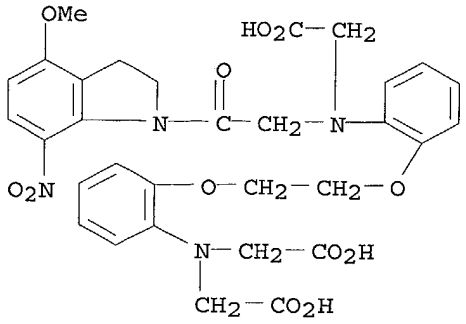


RN 295325-64-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-4-methoxy-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)



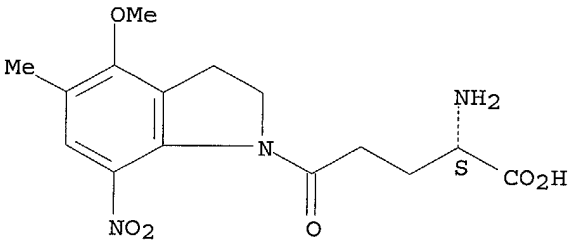
RN 295325-65-4 CAPLUS
CN Glycine, N-[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl]-N-[2-(2,3-dihydro-4-methoxy-7-nitro-1H-indol-1-yl)-2-oxoethyl]- (9CI) (CA

INDEX NAME)

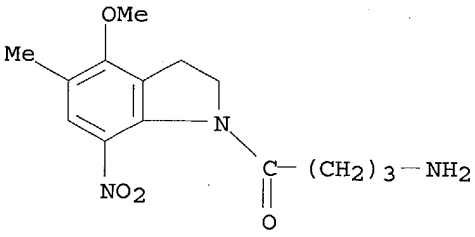


RN 295325-66-5 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-5-methyl-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

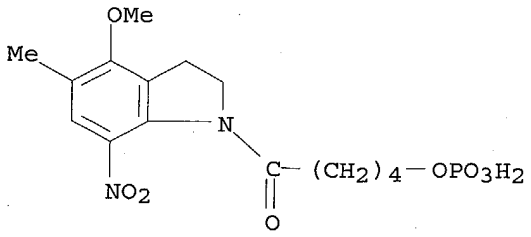
Absolute stereochemistry.



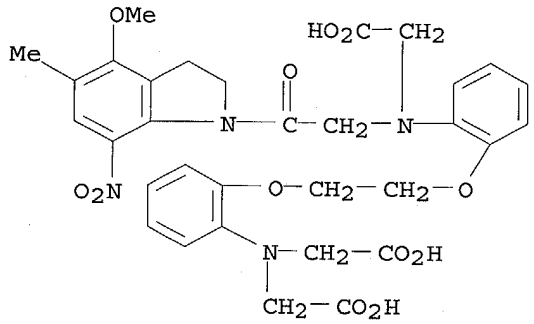
RN 295325-67-6 CAPLUS
CN 1H-Indole, 1-(4-amino-1-oxobutyl)-2,3-dihydro-4-methoxy-5-methyl-7-nitro- (9CI) (CA INDEX NAME)



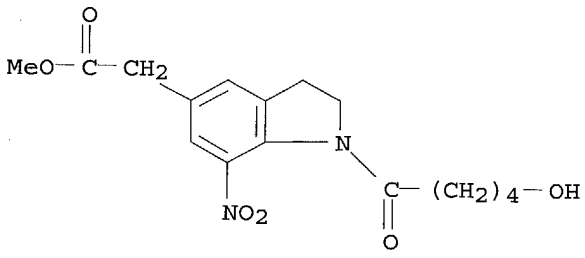
RN 295325-68-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-4-methoxy-5-methyl-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)



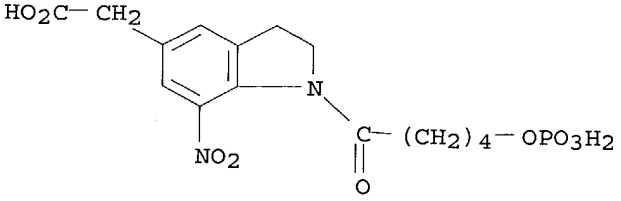
RN 295325-69-8 CAPLUS
CN Glycine, N-[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl]-N-[2-(2,3-dihydro-4-methoxy-5-methyl-7-nitro-1H-indol-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



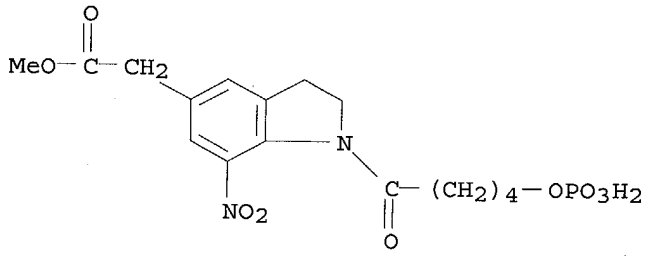
RN 295325-72-3 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-1-(5-hydroxy-1-oxopentyl)-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 295325-74-5 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)



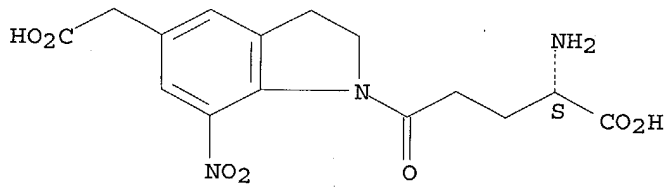
RN 295325-75-6 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester, disodium salt (9CI) (CA INDEX NAME)



●2 Na

RN 295325-77-8 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-5-(carboxymethyl)-2,3-dihydro-7-nitro-.delta.-oxo-, disodium salt, (.alpha.S)- (9CI) (CA INDEX NAME)

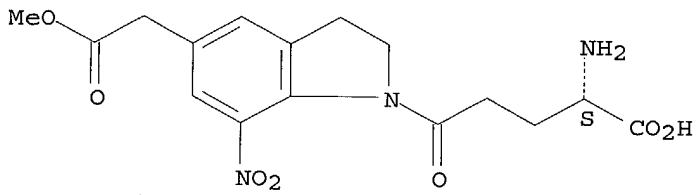
Absolute stereochemistry.



●2 Na

RN 295325-78-9 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, monosodium salt, (.alpha.S)- (9CI) (CA INDEX NAME)

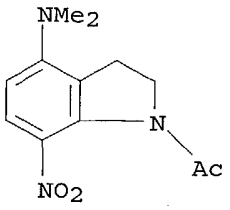
Absolute stereochemistry.



Na

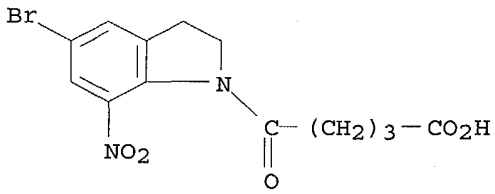
RN 295325-98-3 CAPLUS

CN 1H-Indol-4-amine, 1-acetyl-2,3-dihydro-N,N-dimethyl-7-nitro- (9CI) (CA INDEX NAME)

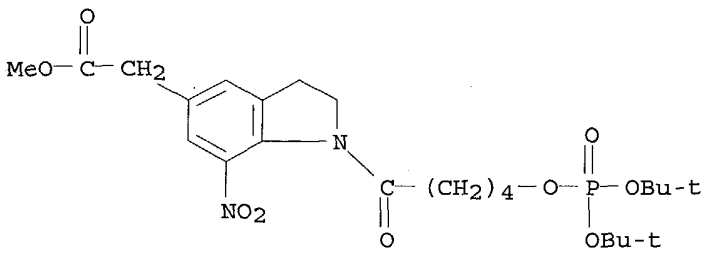


IT **239135-35-4P 295325-73-4P 295325-76-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-acyl-7-nitroindoline derivs. as photocleavable precursors for release of bioactive effector moieties)

RN 239135-35-4 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-bromo-2,3-dihydro-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)

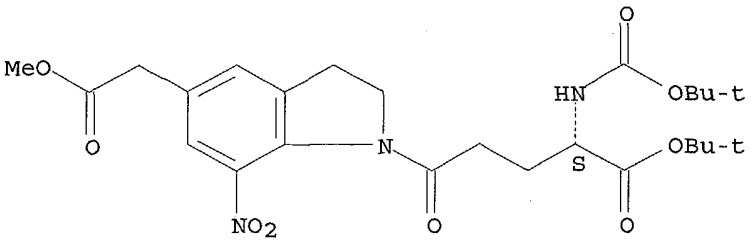


RN 295325-73-4 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[5-[[bis(1,1-dimethylethoxy)phosphinyl]oxy]-1-oxopentyl]-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 295325-76-7 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

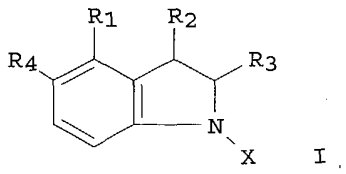
=> d l7 ibib abs hitstr tot

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:814100 CAPLUS
DOCUMENT NUMBER: 137:325331
TITLE: Preparation of 7-nitroindoline derivatives for use as photochemical precursors cabable of releasing bioactive effector moieties
INVENTOR(S): Corrie, John Edgar Thomas; Papageorgiou, George
PATENT ASSIGNEE(S): Medical Research Council, UK
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083639	A1	20021024	WO 2002-GB971	20020308

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-9093 A 20010411
OTHER SOURCE(S): CASREACT 137:325331; MARPAT 137:325331
GI

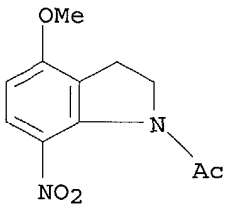


AB A process is described for producing 7-nitroindolines, the process comprising reacting a substituted indoline [e.g., I; wherein R1 = alkoxy or substituted alkoxy group; R2, R3, independently = H, alkyl, or R2 and

R3 together are cycloalkyl; R4 = alkyl, aryl, etc.; X = effector moiety linked to the nitrogen atom at the 1-position of the indoline ring via an acyl linkage, or is a group which is capable of linkage to an effector moiety] with copper(II) nitrate and acetic anhydride to produce the 7-nitroindoline. For example, 1-{[S-(4-tert-butoxycarbonyl)-4-(tert-butoxycarbonylamino)]butanoyl}-4-methoxyindoline was reacted with clay supported copper(II) nitrate and acetic anhydride in CCl4 to give, among other products, 43% 1-{[S-(4-tert-butoxycarbonyl)-4-(tert-butoxycarbonylamino)]butanoyl}-4-methoxy-7-nitroindoline. The prepd. compds. are useful to deliver biol. active effector moieties such as neuroactive **amino acids** or metal chelators to sites where their activity is required.

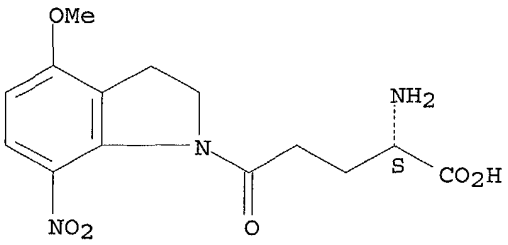
IT **295325-60-9P 295325-62-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 295325-60-9 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)



RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

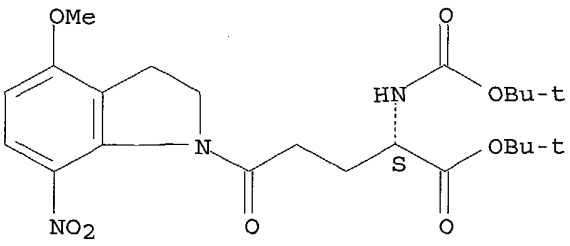
Absolute stereochemistry.



IT **444189-55-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nitroindole derivs. by nitration with copper(II) nitrate and acetic anhydride)

RN 444189-55-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



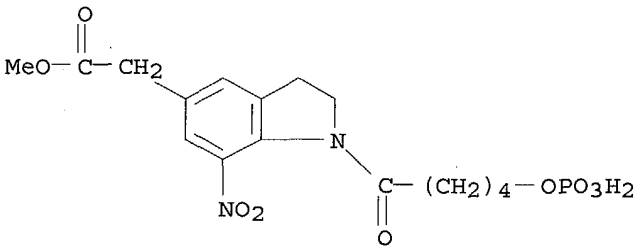
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:759359 CAPLUS
DOCUMENT NUMBER: 136:210906
TITLE: Photochemical and pharmacological evaluation of 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters
AUTHOR(S): Canepari, M.; Nelson, L.; Papageorgiou, G.; Corrie, J. E. T.; Ogden, D.
CORPORATE SOURCE: National Institute for Medical Research, London, NW7 1AA, UK
SOURCE: Journal of Neuroscience Methods (2001), 112(1), 29-42
CODEN: JNMEDT; ISSN: 0165-0270
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reagents capable of rapid and efficient release of neuroactive **amino acids** (L-glutamate, GABA and **glycine**) upon flash photolysis of thermally stable, inert precursors have been elusive. 7-Nitroindolinyl (NI)-caged and 4-methoxy-7-nitroindolinyl (MNI)-caged compds. that fulfil these criteria are evaluated here. These caged precursors are highly resistant to hydrolysis. Photolysis is fast (half time.ltoreq.0.26 ms) and the conversion achieved with a xenon flashlamp is about 15% for the NI-caged L-glutamate and about 35% for the MNI-caged L-glutamate. A procedure is described for calibration of photolysis in a microscope-based exptl. app. NI-caged L-glutamate itself showed no agonist or antagonist effects on AMPA and NMDA receptors in cultured neurons, and had no effect on climbing fiber activation of Purkinje neurons. A control compd. with identical photochem. that generated an inert phosphate upon photolysis was used to confirm that the intermediates and byproducts of photolysis have no deleterious effects. MNI-caged L-glutamate is as stable and fast as NI-caged L-glutamate and similarly inert at glutamate receptors, but about 2.5 times more efficient. However, NI-caged GABA is an antagonist at GABAA receptors and NI-**glycine** an antagonist at **glycine** receptors. The results show the utility and limitations of these fast and stable caged neurotransmitters in the investigation of synaptic processes.

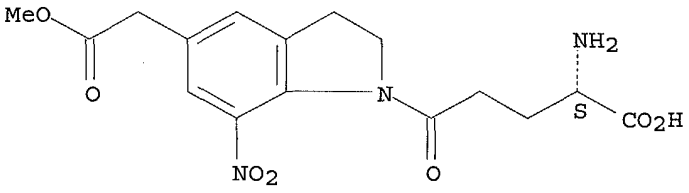
IT **239135-33-2 239135-34-3 295325-62-1**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process); USES (Uses)
(photochem. and pharmacol. evaluation of synthetic 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters useful in investigating synaptic neurotransmission)

RN 239135-33-2 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)



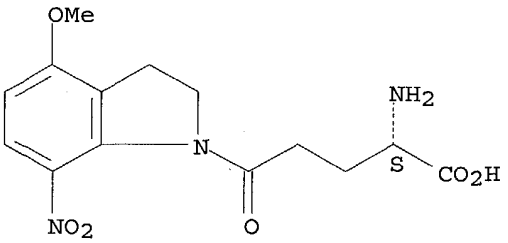
RN 239135-34-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

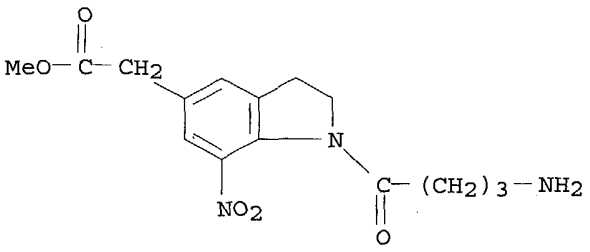


RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

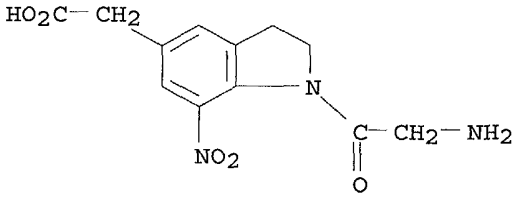
Absolute stereochemistry.



IT **295325-58-5P 402470-76-2P**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(photochem. and pharmacol. evaluation of synthetic 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters useful in investigating synaptic neurotransmission)
RN 295325-58-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(4-amino-1-oxobutyl)-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 402470-76-2 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(aminoacetyl)-2,3-dihydro-7-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:666708 CAPLUS
DOCUMENT NUMBER: 133:252301
TITLE: Preparation of 1-acyl-7-nitroindoline derivatives as photocleavable precursors for release of bioactive effector moieties.
INVENTOR(S): Corrie, John Edgar Thomas; Papageorgiou, George
PATENT ASSIGNEE(S): Medical Research Council, UK
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

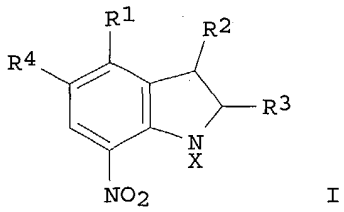
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055133	A1	20000921	WO 2000-GB1039	20000320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1161418	A1	20011212	EP 2000-911095	20000320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002539196	T2	20021119	JP 2000-605564	20000320

PRIORITY APPLN. INFO.: GB 1999-6192 A 19990318

WO 2000-GB1039 W 20000320

OTHER SOURCE(S): MARPAT 133:252301

GI



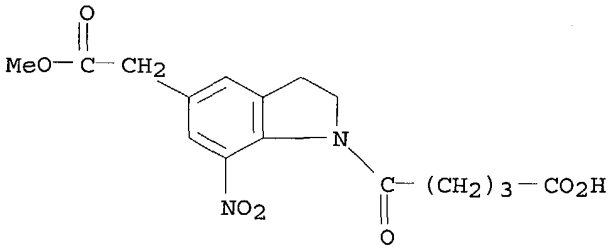
I

AB Photoreleasable compds. comprising a caging moiety linked to an effector moiety [I; R1, R4 = H, (substituted) alkyl, O(CH2)nY; N(COZ)(CH2)mY, N[(CH2)mY1][(CH2)NY]; R2, R3 = H, (substituted) alkyl; R2R3 = cycloalkyl; m, n = 1-10; Y, Y1 = H, CO2H, salts thereof, OPO32-; Z = H, (substituted) alkyl; X = effector moiety or a group capable of being coupled or converted to an effector moiety], which are capable of releasing the effector moiety on irradn., typically by flash irradn. with UV light, were prepd. I can be used to deliver biol. active effector moieties such as neuroactive **amino acids** or metal chelators to sites where their activity is required. Thus, Me 1-[4-(tert-butoxycarbonylamino)butanoyl]indoline-5-acetate (prepn. given) was stirred with NaNO3 in CF3CO2H to give Me 1-(4-aminobutanoyl)-7-nitroindoline-5-acetate as the phosphate salt. This was photolyzed in ammonium phosphate soln. using an Hg arc lamp; at 38% photolysis recovery of GABA was 88%.

IT **239135-32-1P 239135-33-2P 239135-34-3P**
239135-39-8P 295325-58-5P 295325-59-6P
295325-60-9P 295325-61-0P 295325-62-1P
295325-63-2P 295325-64-3P 295325-65-4P
295325-66-5P 295325-67-6P 295325-68-7P
295325-69-8P 295325-72-3P 295325-74-5P
295325-75-6P 295325-77-8P 295325-78-9P
295325-98-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acyl-7-nitroindoline derivs. as photocleavable precursors for release of bioactive effector moieties)

RN 239135-32-1 CAPLUS

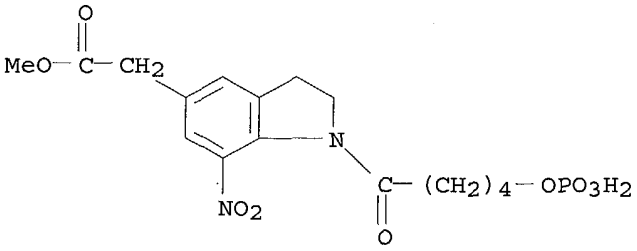
CN 1H-Indole-1-pentanoic acid, 2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)



RN 239135-33-2 CAPLUS

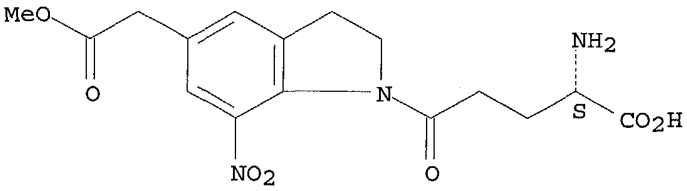
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-

(phosphonooxy)pentyl]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)

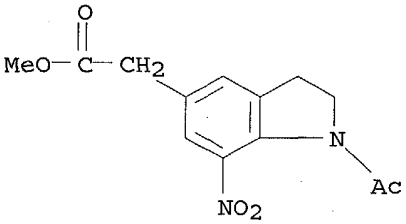


RN 239135-34-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

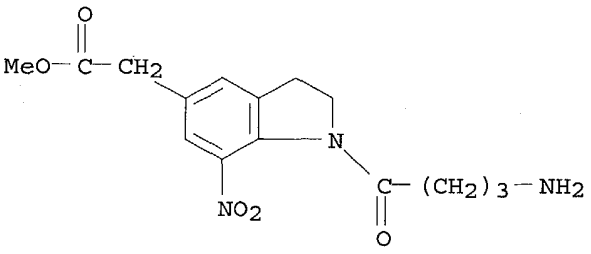
Absolute stereochemistry.



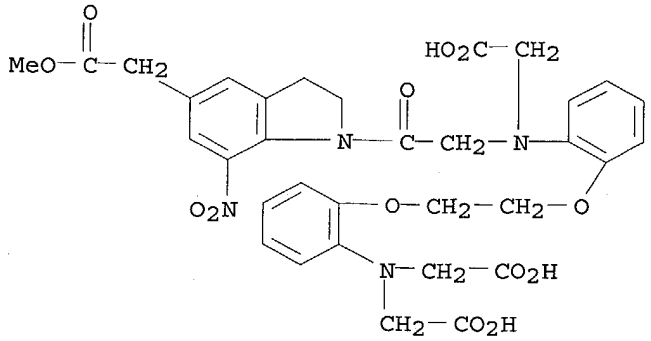
RN 239135-39-8 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



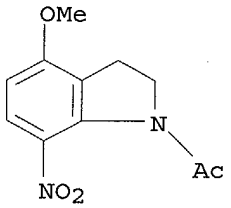
RN 295325-58-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(4-amino-1-oxobutyl)-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



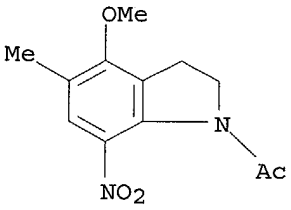
RN 295325-59-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[[[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl](carboxymethyl)amino]acetyl]-2,3-dihydro-7-nitro-, .alpha.-methyl ester (9CI) (CA INDEX NAME)



RN 295325-60-9 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)

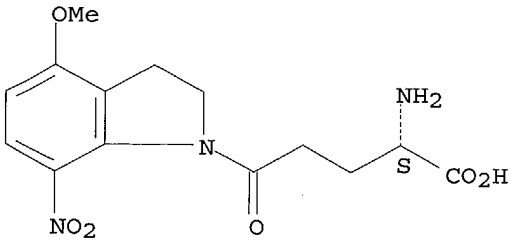


RN 295325-61-0 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-5-methyl-7-nitro- (9CI) (CA INDEX NAME)

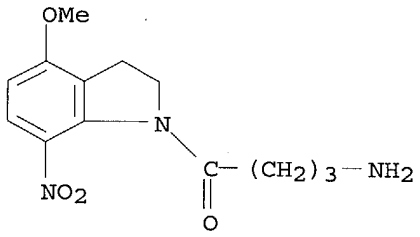


RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

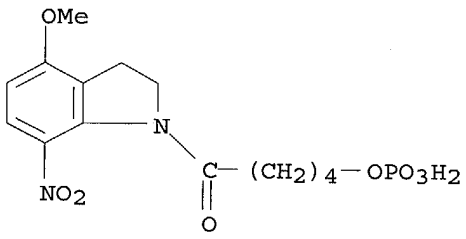
Absolute stereochemistry.



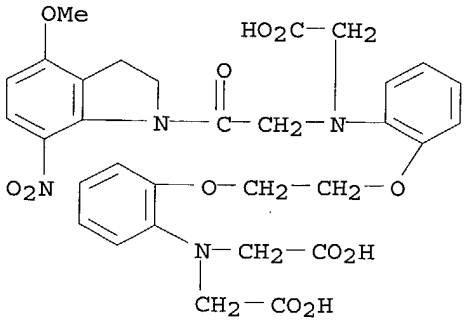
RN 295325-63-2 CAPLUS
CN 1H-Indole, 1-(4-amino-1-oxobutyl)-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)



RN 295325-64-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-4-methoxy-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)

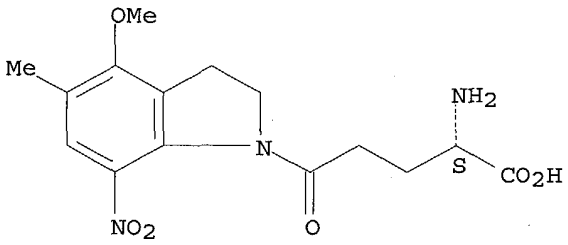


RN 295325-65-4 CAPLUS
CN Glycine, N-[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl]-N-[2-(2,3-dihydro-4-methoxy-7-nitro-1H-indol-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

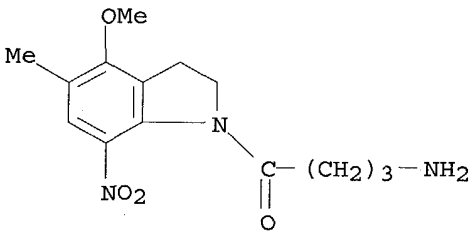


RN 295325-66-5 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-5-methyl-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

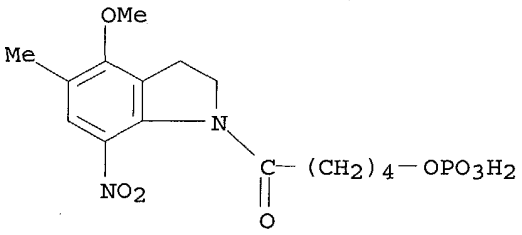
Absolute stereochemistry.



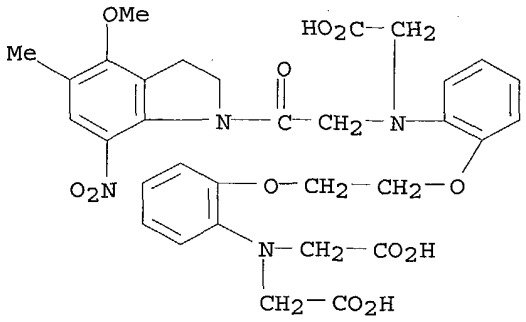
RN 295325-67-6 CAPLUS
CN 1H-Indole, 1-(4-amino-1-oxobutyl)-2,3-dihydro-4-methoxy-5-methyl-7-nitro- (9CI) (CA INDEX NAME)



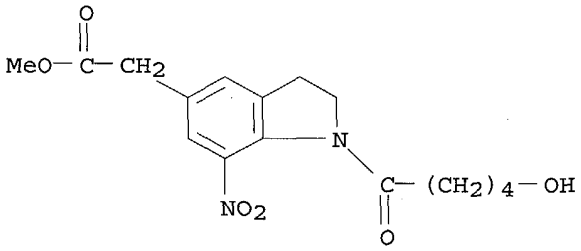
RN 295325-68-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-4-methoxy-5-methyl-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)



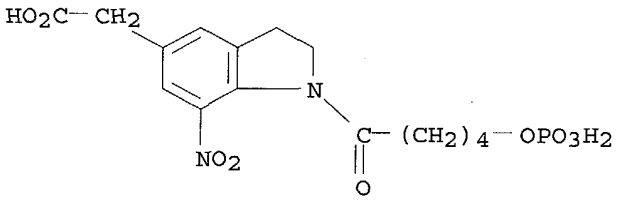
RN 295325-69-8 CAPLUS
CN Glycine, N-[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl]-N-[2-(2,3-dihydro-4-methoxy-5-methyl-7-nitro-1H-indol-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



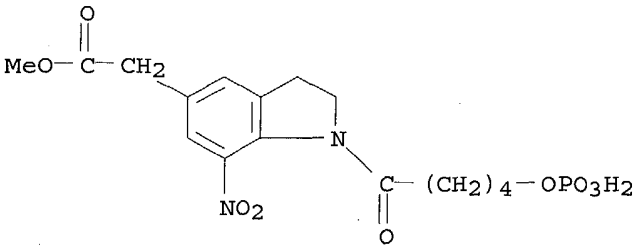
RN 295325-72-3 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-1-(5-hydroxy-1-oxopentyl)-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 295325-74-5 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)



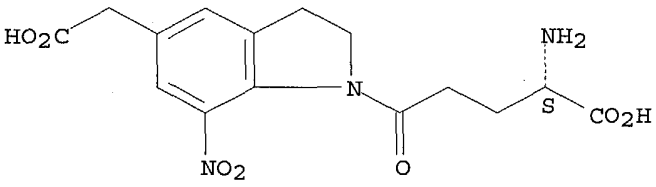
RN 295325-75-6 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester, disodium salt (9CI) (CA INDEX NAME)



●2 Na

RN 295325-77-8 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-5-(carboxymethyl)-2,3-dihydro-7-nitro-.delta.-oxo-, disodium salt, (.alpha.S)- (9CI) (CA INDEX NAME)

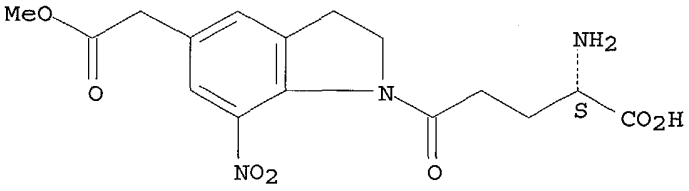
Absolute stereochemistry.



●2 Na

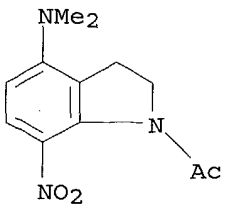
RN 295325-78-9 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, monosodium salt, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

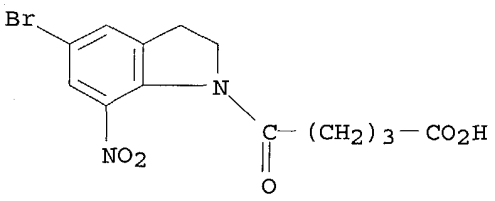


● Na

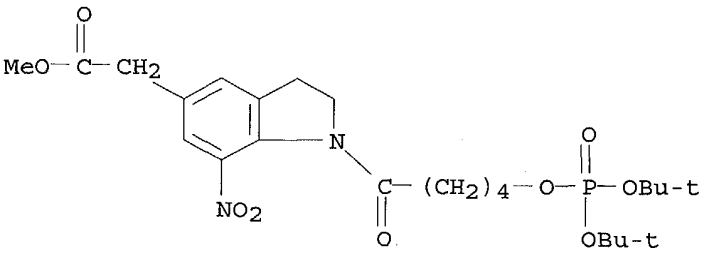
RN 295325-98-3 CAPLUS
CN 1H-Indol-4-amine, 1-acetyl-2,3-dihydro-N,N-dimethyl-7-nitro- (9CI) (CA INDEX NAME)



IT **239135-35-4P 295325-73-4P 295325-76-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-acyl-7-nitroindoline derivs. as photocleavable precursors for release of bioactive effector moieties)
RN 239135-35-4 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-bromo-2,3-dihydro-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)

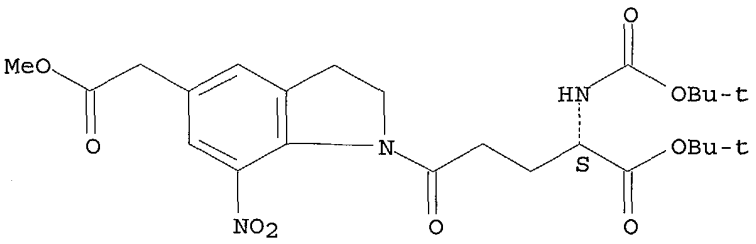


RN 295325-73-4 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[5-[[bis(1,1-dimethylethoxy)phosphinyl]oxy]-1-oxopentyl]-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 295325-76-7 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

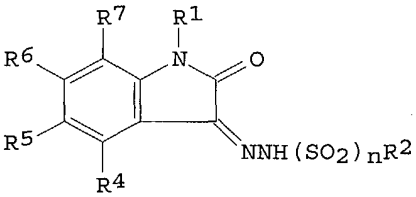


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:633851 CAPLUS
DOCUMENT NUMBER: 117:233851
TITLE: Preparation of hydrazonoindolones as excitatory **amino acid** antagonists
INVENTOR(S): Dahl, Bjarne Hugo; Waetjen, Frank
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 503349	A1	19920916	EP 1992-103104	19920224
EP 503349	B1	19950104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
US 5164404	A	19921117	US 1991-670061	19910315
ZA 9201328	A	19921125	ZA 1992-1328	19920224
ES 2069330	T3	19950501	ES 1992-103104	19920224
AU 9211225	A1	19920917	AU 1992-11225	19920226
AU 643877	B2	19931125		
CA 2062853	AA	19920916	CA 1992-2062853	19920312
NO 9201000	A	19920916	NO 1992-1000	19920313
NO 180191	B	19961125		
NO 180191	C	19970305		
JP 05078350	A2	19930330	JP 1992-55531	19920313
JP 3407896	B2	20030519		

PRIORITY APPLN. INFO.: US 1991-670061 A 19910315
OTHER SOURCE(S): MARPAT 117:233851
GI



I

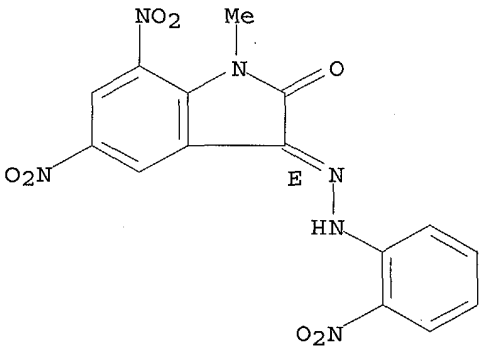
AB Title compds. I [n = 0, 1; R1 = H, C1-6 alkyl, C3-7 cycloalkyl, CH2Ph, (substituted) Ph, acyl, OH, C1-6 alkoxy, CH2CO2H, CH2CN, etc.; R2 =

(substituted) Ph, -pyridyl; R4 - R7 = H, C1-36 alkyl, Ph, halo, C1-6 alkoxy, NO2, cyano, CF3, SO2NR11R12; R11, R12 = H, CH2Ph, C1-6 alkyl; or R6R7 or R4R5 = atoms to complete a 4-8 membered (substituted) carbocyclic ring] were prepd. for the treatment of disorders responsive to the blockade of glutamic or aspartic receptors. Thus, 5-nitro-1H-6,7,8,9-ttrahydrobenz[g]indole-2,3-dione (prepn. given) and 2-nitrophenylhydrazone were stirred in MeOH contg. HCl to give 5-nitro-1H-6,7,8,9-tetrahydrobenz[g]indole-2,3-dione-3-(2-nitrophenylhydrazone) as a mixt. of E- and Z-isomers. I are said to exhibit binding at 3H-kainate, NMDA, 3H-AMPA and/or 3H-**glycine** binding sites with IC50's of 1-100 .mu.M.

IT **144405-80-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antagonist for excitatory **amino acids**
)

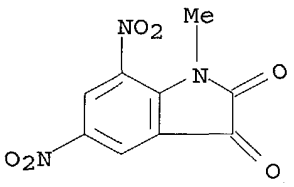
RN 144405-80-1 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro-, 3-[(2-nitrophenyl)hydrazone], (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

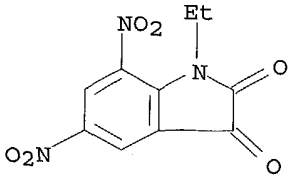


IT **136622-60-1P 136622-61-2P 136622-65-6P**
136622-68-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for excitatory **amino acid** antagonists)

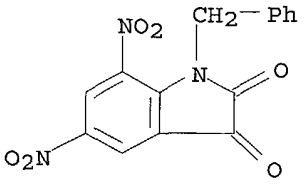
RN 136622-60-1 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro- (9CI) (CA INDEX NAME)



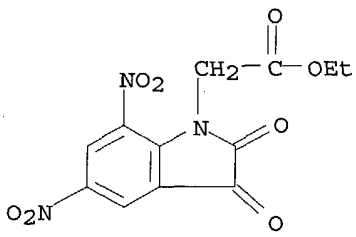
RN 136622-61-2 CAPLUS
CN 1H-Indole-2,3-dione, 1-ethyl-5,7-dinitro- (9CI) (CA INDEX NAME)



RN 136622-65-6 CAPLUS
CN 1H-Indole-2,3-dione, 5,7-dinitro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 136622-68-9 CAPLUS
CN 1H-Indole-1-acetic acid, 2,3-dihydro-5,7-dinitro-2,3-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



=> d l6 ibib abs hitstr tot

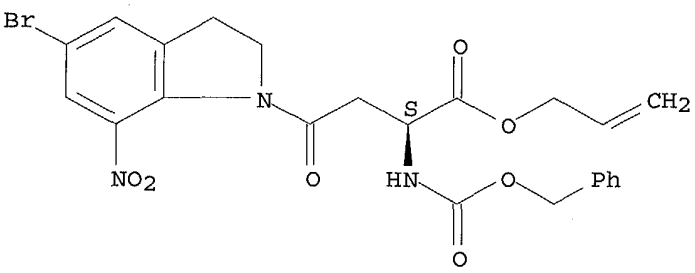
L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:301852 CAPLUS
DOCUMENT NUMBER: 139:85609
TITLE: Phototransamidation as a method for the synthesis of N-glycosyl asparagines
AUTHOR(S): Vizvardi, Kristof; Kreutz, Christian; Davis, Alexander S.; Lee, Vincent P.; Philmus, Benjamin J.; Simo, Ondrej; Michael, Katja
CORPORATE SOURCE: Department of Chemistry, University of Hawaii, Honolulu, 96822, USA
SOURCE: Chemistry Letters (2003), 32(4), 348-349
CODEN: CMLTAG; ISSN: 0366-7022
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-Glycosyl asparagines were synthesized by a mild photochem. coupling method in which a photoreactive amide of an aspartic acid's .beta.-carboxyl group is condensed with an aminosaccharide. Upon excitation, the .gamma.-carbon becomes susceptible to nucleophilic attack and the obtained N-glycosyl asparagines, which may be useful building

blocks for the synthesis of N-glycopeptides and neoglycopeptides, are generated in good yields.

IT **553681-57-5P 553681-58-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-glycosyl asparagines by using a mild photochem. transamidation step)

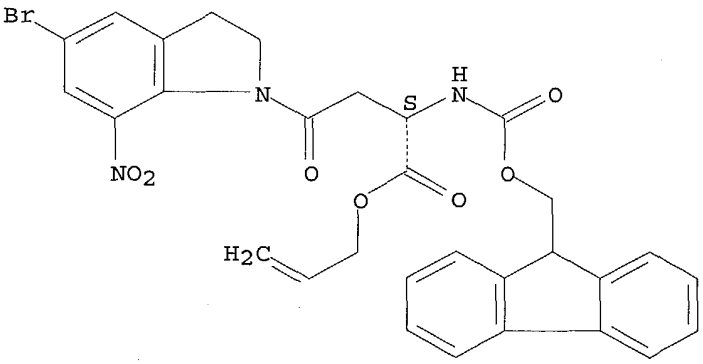
RN 553681-57-5 CAPLUS
CN 1H-Indole-1-butanoic acid, 5-bromo-2,3-dihydro-7-nitro-.gamma.-oxo-.alpha.-[[(phenylmethoxy)carbonyl]amino]-, 2-propenyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 553681-58-6 CAPLUS
CN 1H-Indole-1-butanoic acid, 5-bromo-.alpha.-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-2,3-dihydro-7-nitro-.gamma.-oxo-, 2-propenyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:814100 CAPLUS
DOCUMENT NUMBER: 137:325331
TITLE: Preparation of 7-nitroindoline derivatives for use as photochemical precursors cabable of releasing bioactive effector moieties
INVENTOR(S): Corrie, John Edgar Thomas; Papageorgiou, George
PATENT ASSIGNEE(S): Medical Research Council, UK
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

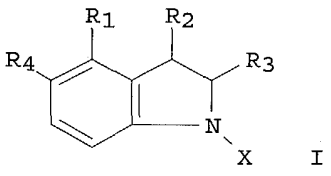
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083639	A1	20021024	WO 2002-GB971	20020308

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

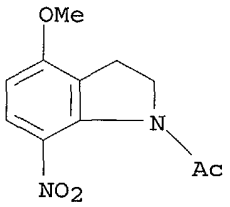
PRIORITY APPLN. INFO.: GB 2001-9093 A 20010411
OTHER SOURCE(S): CASREACT 137:325331; MARPAT 137:325331
GI



I

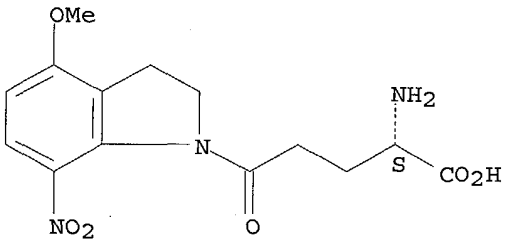
AB A process is described for producing 7-nitroindolines, the process comprising reacting a substituted indoline [e.g., I; wherein R1 = alkoxy or substituted alkoxy group; R2, R3, independently = H, alkyl, or R2 and R3 together are cycloalkyl; R4 = alkyl, aryl, etc.; X = effector moiety linked to the nitrogen atom at the 1-position of the indoline ring via an acyl linkage, or is a group which is capable of linkage to an effector moiety] with copper(II) nitrate and acetic anhydride to produce the 7-nitroindoline. For example, 1-{[S-(4-tert-butoxycarbonyl)-4-(tert-butoxycarbonylamino)]butanoyl}-4-methoxyindoline was reacted with clay supported copper(II) nitrate and acetic anhydride in CCl4 to give, among other products, 43% 1-{[S-(4-tert-butoxycarbonyl)-4-(tert-butoxycarbonylamino)]butanoyl}-4-methoxy-7-nitroindoline. The prepd. compds. are useful to deliver biol. active effector moieties such as neuroactive **amino acids** or metal chelators to sites where their activity is required.

IT **295325-60-9P 295325-62-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 295325-60-9 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)



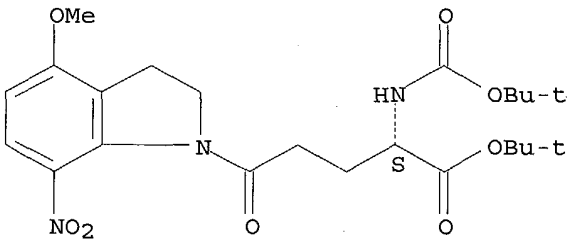
RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **444189-55-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nitroindole derivs. by nitration with copper(II) nitrate and acetic anhydride)
RN 444189-55-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

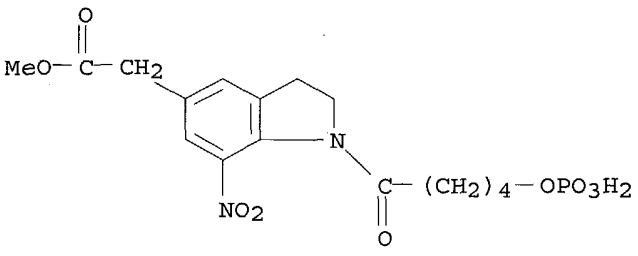
L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:759359 CAPLUS
DOCUMENT NUMBER: 136:210906
TITLE: Photochemical and pharmacological evaluation of 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters
AUTHOR(S): Canepari, M.; Nelson, L.; Papageorgiou, G.; Corrie, J. E. T.; Ogden, D.

CORPORATE SOURCE: National Institute for Medical Research, London, NW7 1AA, UK
SOURCE: Journal of Neuroscience Methods (2001), 112(1), 29-42
CODEN: JNMEDT; ISSN: 0165-0270
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Reagents capable of rapid and efficient release of neuroactive **amino acids** (L-glutamate, GABA and glycine) upon flash photolysis of thermally stable, inert precursors have been elusive. 7-Nitroindolinyl (NI)-caged and 4-methoxy-7-nitroindolinyl (MNI)-caged compds. that fulfil these criteria are evaluated here. These caged precursors are highly resistant to hydrolysis. Photolysis is fast (half time.ltoreq.0.26 ms) and the conversion achieved with a xenon flashlamp is about 15% for the NI-caged L-glutamate and about 35% for the MNI-caged L-glutamate. A procedure is described for calibration of photolysis in a microscope-based exptl. app. NI-caged L-glutamate itself showed no agonist or antagonist effects on AMPA and NMDA receptors in cultured neurons, and had no effect on climbing fiber activation of Purkinje neurons. A control compd. with identical photochem. that generated an inert phosphate upon photolysis was used to confirm that the intermediates and byproducts of photolysis have no deleterious effects. MNI-caged L-glutamate is as stable and fast as NI-caged L-glutamate and similarly inert at glutamate receptors, but about 2.5 times more efficient. However, NI-caged GABA is an antagonist at GABAA receptors and NI-glycine an antagonist at glycine receptors. The results show the utility and limitations of these fast and stable caged neurotransmitters in the investigation of synaptic processes.

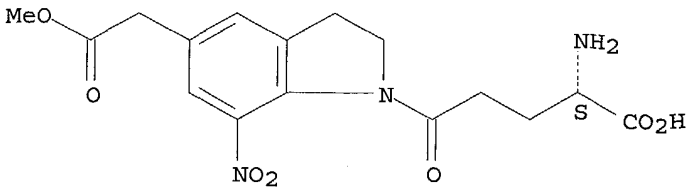
IT **239135-33-2 239135-34-3 295325-62-1**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process); USES (Uses)
(photochem. and pharmacol. evaluation of synthetic 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters useful in investigating synaptic neurotransmission)

RN 239135-33-2 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)



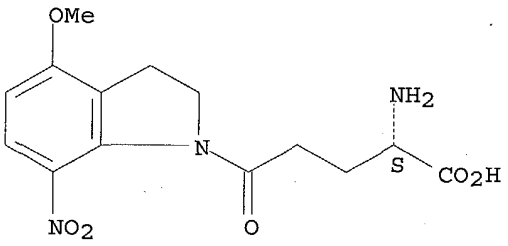
RN 239135-34-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

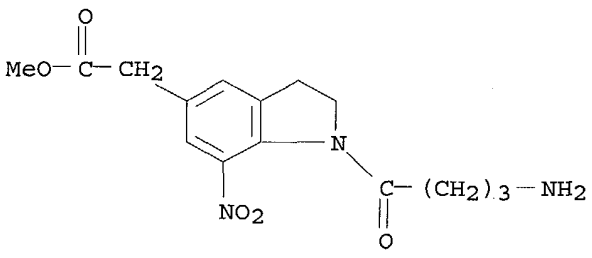


RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

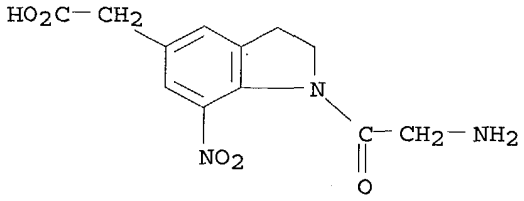
Absolute stereochemistry.



IT **295325-58-5P 402470-76-2P**
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(photochem. and pharmacol. evaluation of synthetic 7-nitroindolinyl-and 4-methoxy-7-nitroindolinyl-**amino acids** as novel, fast caged neurotransmitters useful in investigating synaptic neurotransmission)
RN 295325-58-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(4-amino-1-oxobutyl)-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)

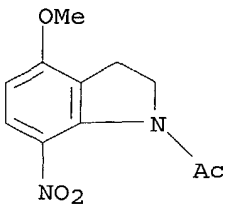


RN 402470-76-2 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(aminoacetyl)-2,3-dihydro-7-nitro- (9CI) (CA INDEX NAME)

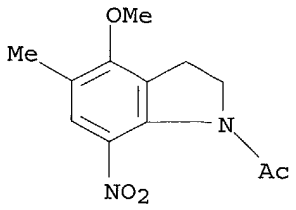


REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:698992 CAPLUS
DOCUMENT NUMBER: 134:71451
TITLE: Effects of Aromatic Substituents on the Photocleavage of 1-Acyl-7-nitroindolines
AUTHOR(S): Papageorgiou, G.; Corrie, J. E. T.
CORPORATE SOURCE: National Institute for Medical Research, The Ridgeway, Mill Hill, London, NW7 1AA, UK
SOURCE: Tetrahedron (2000), 56(41), 8197-8205
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:71451
AB Photolysis of 1-acyl-7-nitroindolines in aq. soln. gives a carboxylic acid and a 7-nitrosoindole. These compds. are useful as photolabile precursors of carboxylic acids, particularly neuro-active **amino acids**. 4-Methoxy substitution improved the photolysis efficiency degree 2-fold but a 4-dimethylamino analog was essentially inert. A 5-alkyl substituent, that blocks unwanted nitration at this position, reduced the beneficial effect of the 4-methoxy group.
IT **295325-60-9P 295325-61-0P 295325-62-1P 314762-04-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(effect of arom. substituents on photocleavage of 1-acyl-7-nitroindolines)
RN 295325-60-9 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)

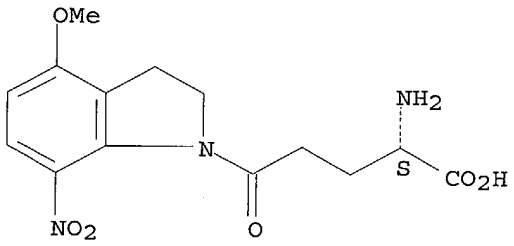


RN 295325-61-0 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-5-methyl-7-nitro- (9CI) (CA INDEX NAME)

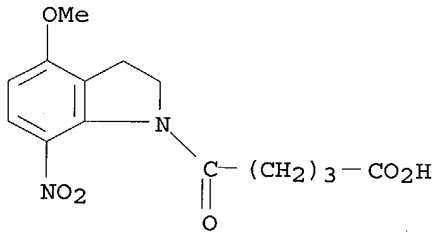


RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

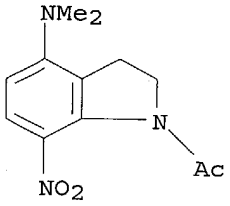
Absolute stereochemistry.



RN 314762-04-4 CAPLUS
CN 1H-Indole-1-pentanoic acid, 2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)



IT **295325-98-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(effect of arom. substituents on photocleavage of 1-acyl-7-nitroindolines)
RN 295325-98-3 CAPLUS
CN 1H-Indol-4-amine, 1-acetyl-2,3-dihydro-N,N-dimethyl-7-nitro- (9CI) (CA INDEX NAME)

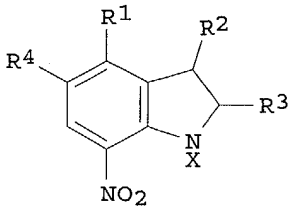


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:666708 CAPLUS
DOCUMENT NUMBER: 133:252301
TITLE: Preparation of 1-acyl-7-nitroindoline derivatives as photocleavable precursors for release of bioactive effector moieties.
INVENTOR(S): Corrie, John Edgar Thomas; Papageorgiou, George
PATENT ASSIGNEE(S): Medical Research Council, UK
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055133	A1	20000921	WO 2000-GB1039	20000320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1161418	A1	20011212	EP 2000-911095	20000320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002539196	T2	20021119	JP 2000-605564	20000320

PRIORITY APPLN. INFO.: GB 1999-6192 A 19990318
WO 2000-GB1039 W 20000320
OTHER SOURCE(S): MARPAT 133:252301
GI



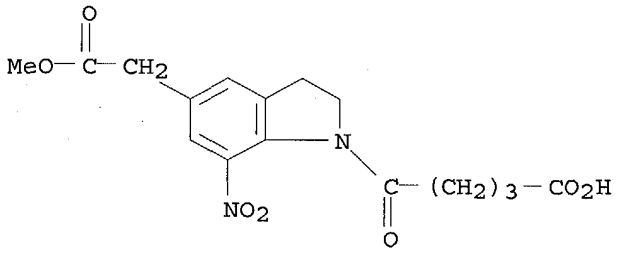
I

AB Photoreleasable compds. comprising a caging moiety linked to an effector moiety [I; R1, R4 = H, (substituted) alkyl, O(CH2)nY; N(COZ)(CH2)mY, N[(CH2)mY1][(CH2)NY]; R2, R3 = H, (substituted) alkyl; R2R3 = cycloalkyl; m, n = 1-10; Y, Y1 = H, CO2H, salts thereof, OPO32-; Z = H, (substituted) alkyl; X = effector moiety or a group capable of being coupled or converted to an effector moiety], which are capable of releasing the effector moiety on irradn., typically by flash irradn. with UV light, were prepd. I can be used to deliver biol. active effector moieties such as neuroactive **amino acids** or metal chelators to sites where their activity is required. Thus, Me 1-[4-(tert-butoxycarbonylamino)butanoyl]indoline-5-acetate (prepn. given) was stirred with NaNO3 in CF3CO2H to give Me 1-(4-aminobutanoyl)-7-nitroindoline-5-

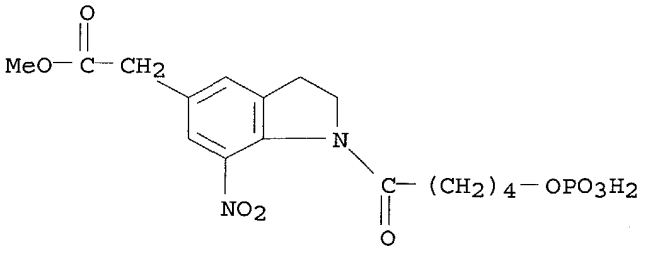
acetate as the phosphate salt. This was photolyzed in ammonium phosphate soln. using an Hg arc lamp; at 38% photolysis recovery of GABA was 88%.

IT **239135-32-1P 239135-33-2P 239135-34-3P**
239135-39-8P 295325-58-5P 295325-59-6P
295325-60-9P 295325-61-0P 295325-62-1P
295325-63-2P 295325-64-3P 295325-65-4P
295325-66-5P 295325-67-6P 295325-68-7P
295325-69-8P 295325-72-3P 295325-74-5P
295325-75-6P 295325-77-8P 295325-78-9P
295325-98-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-acyl-7-nitroindoline derivs. as photocleavable precursors for release of bioactive effector moieties)

RN 239135-32-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, 2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)

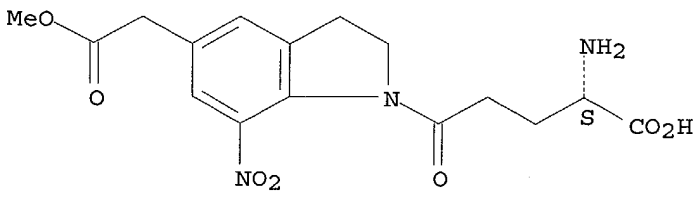


RN 239135-33-2 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)

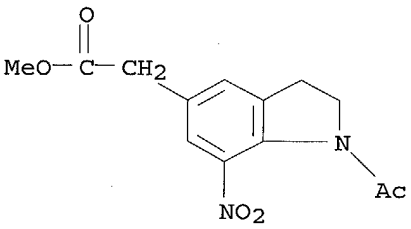


RN 239135-34-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

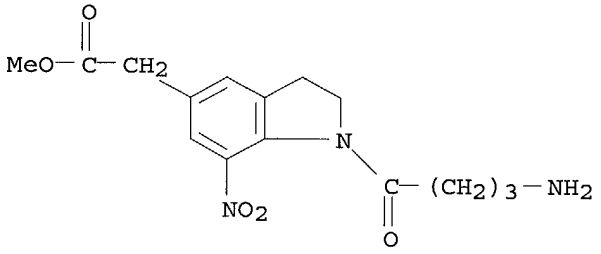
Absolute stereochemistry.



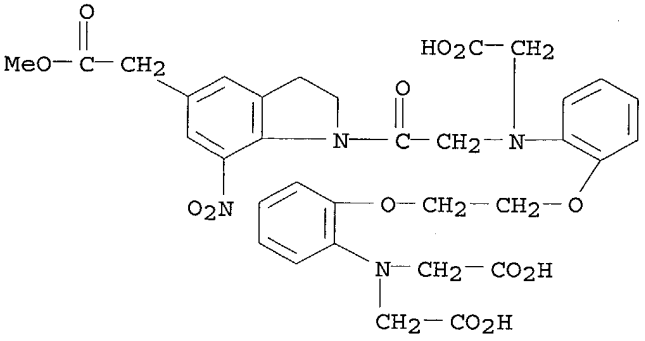
RN 239135-39-8 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



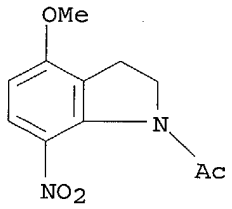
RN 295325-58-5 CAPLUS
CN 1H-Indole-5-acetic acid, 1-(4-amino-1-oxobutyl)-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



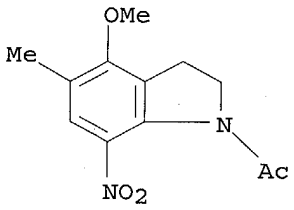
RN 295325-59-6 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[[[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl](carboxymethyl)amino]acetyl]-2,3-dihydro-7-nitro-, .alpha.-methyl ester (9CI) (CA INDEX NAME)



RN 295325-60-9 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)

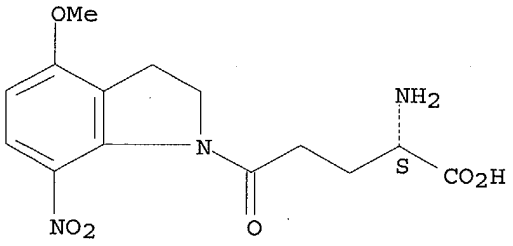


RN 295325-61-0 CAPLUS
CN 1H-Indole, 1-acetyl-2,3-dihydro-4-methoxy-5-methyl-7-nitro- (9CI) (CA INDEX NAME)

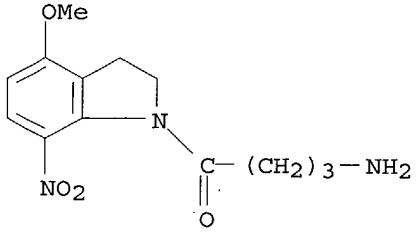


RN 295325-62-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

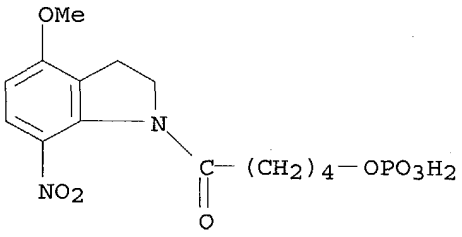
Absolute stereochemistry.



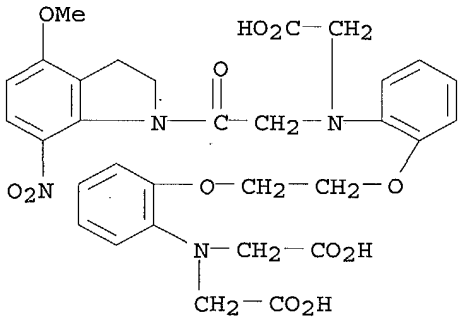
RN 295325-63-2 CAPLUS
CN 1H-Indole, 1-(4-amino-1-oxobutyl)-2,3-dihydro-4-methoxy-7-nitro- (9CI) (CA INDEX NAME)



RN 295325-64-3 CAPLUS
CN 1H-Indole, 2,3-dihydro-4-methoxy-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)

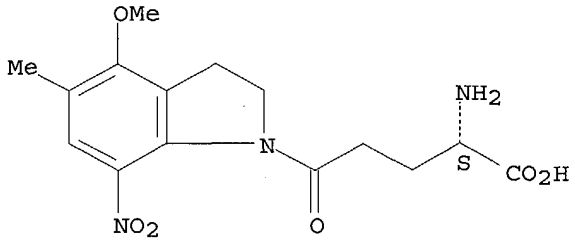


RN 295325-65-4 CAPLUS
CN Glycine, N-[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl]-N-[2-(2,3-dihydro-4-methoxy-7-nitro-1H-indol-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

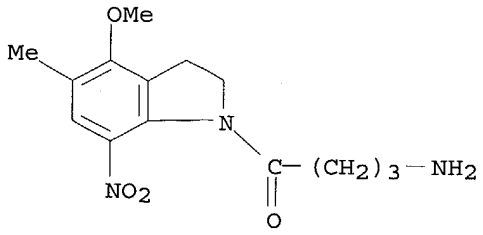


RN 295325-66-5 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-4-methoxy-5-methyl-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

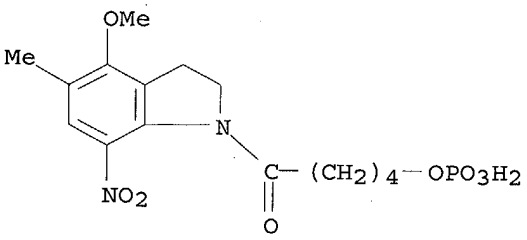
Absolute stereochemistry.



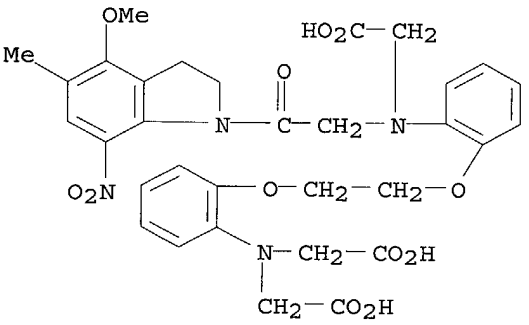
RN 295325-67-6 CAPLUS
CN 1H-Indole, 1-(4-amino-1-oxobutyl)-2,3-dihydro-4-methoxy-5-methyl-7-nitro- (9CI) (CA INDEX NAME)



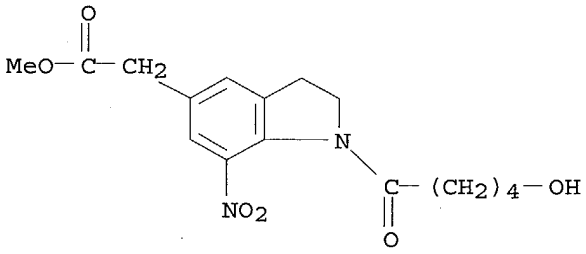
RN 295325-68-7 CAPLUS
CN 1H-Indole, 2,3-dihydro-4-methoxy-5-methyl-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)



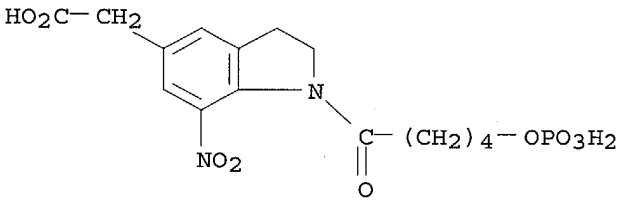
RN 295325-69-8 CAPLUS
CN Glycine, N-[2-[2-[2-[bis(carboxymethyl)amino]phenoxy]ethoxy]phenyl]-N-[2-(2,3-dihydro-4-methoxy-5-methyl-7-nitro-1H-indol-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



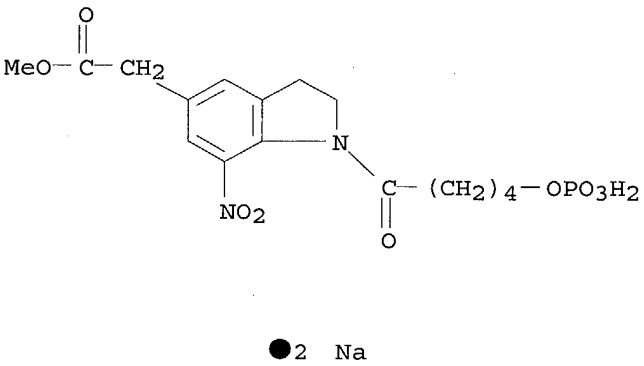
RN 295325-72-3 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-1-(5-hydroxy-1-oxopentyl)-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 295325-74-5 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]- (9CI) (CA INDEX NAME)

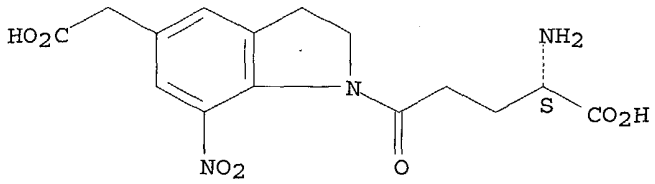


RN 295325-75-6 CAPLUS
CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester, disodium salt (9CI) (CA INDEX NAME)



RN 295325-77-8 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-5-(carboxymethyl)-2,3-dihydro-7-nitro-.delta.-oxo-, disodium salt, (.alpha.S)- (9CI) (CA INDEX NAME)

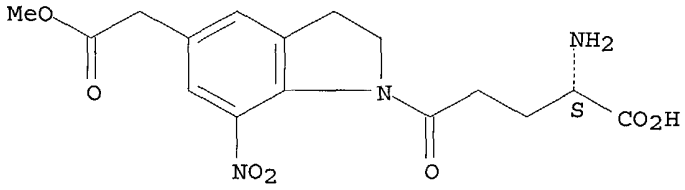
Absolute stereochemistry.



●2 Na

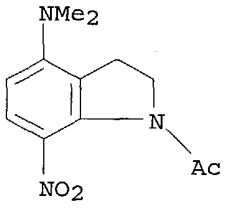
RN 295325-78-9 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, monosodium salt, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

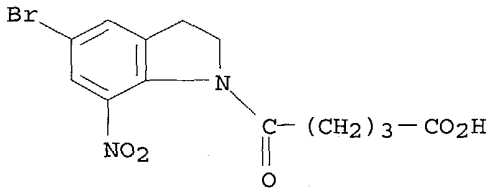


● Na

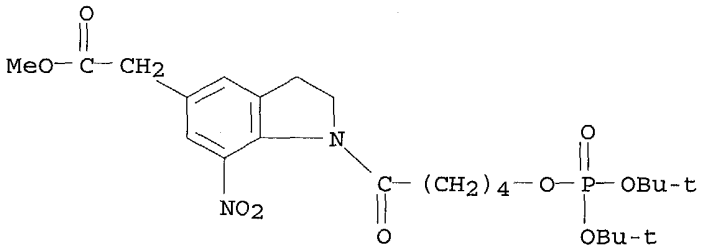
RN 295325-98-3 CAPLUS
CN 1H-Indol-4-amine, 1-acetyl-2,3-dihydro-N,N-dimethyl-7-nitro- (9CI) (CA INDEX NAME)



IT **239135-35-4P 295325-73-4P 295325-76-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-acyl-7-nitroindoline derivs. as photocleavable precursors for release of bioactive effector moieties)
RN 239135-35-4 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-bromo-2,3-dihydro-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)

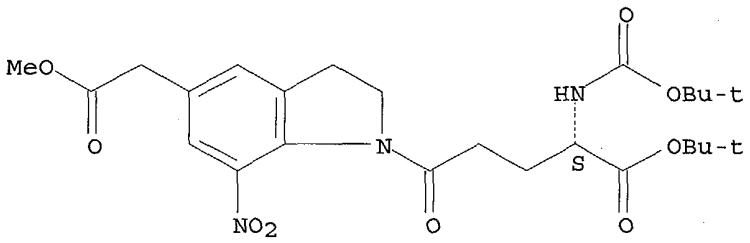


RN 295325-73-4 CAPLUS
CN 1H-Indole-5-acetic acid, 1-[5-[[bis(1,1-dimethylethoxy)phosphinyl]oxy]-1-oxopentyl]-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)



RN 295325-76-7 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, 1,1-dimethylethyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:390833 CAPLUS
DOCUMENT NUMBER: 131:165619
TITLE: Photorelease of Carboxylic Acids from 1-Acyl-7-nitroindolines in Aqueous Solution: Rapid and Efficient Photorelease of L-Glutamate
AUTHOR(S): Papageorgiou, George; Ogden, David C.; Barth, Andreas; Corrie, John E. T.
CORPORATE SOURCE: National Institute for Medical Research, London, NW7 1AA, UK
SOURCE: Journal of the American Chemical Society (1999), 121(27), 6503-6504
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

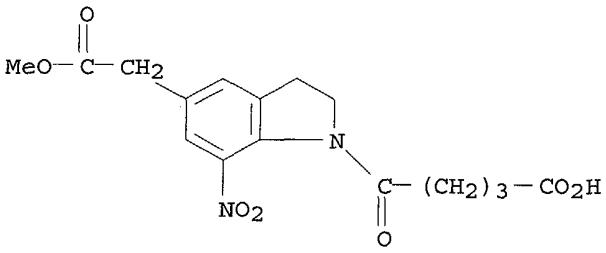
LANGUAGE: English

AB Photorelease of biol. active compds. from photocleavable (caged) precursors is a useful tool to study biol. processes but rapid, efficient release of neuroactive **amino acids** has been elusive. We now describe stable 1-acyl-7-nitro-indolines that rapidly and efficiently photorelease carboxylates, including L-glutamate, in neutral aq. soln. L-Glutamate precursors were tested in primary cultures of rat cerebellar granule neurons for their pharmacol. properties and ability to activate glutamate ion channels upon photolysis.

IT **239135-32-1P 239135-34-3P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(prepn. of 1-acyl-7-nitroindolines that photorelease L-glutamate in cerebellar granule neurons)

RN 239135-32-1 CAPLUS

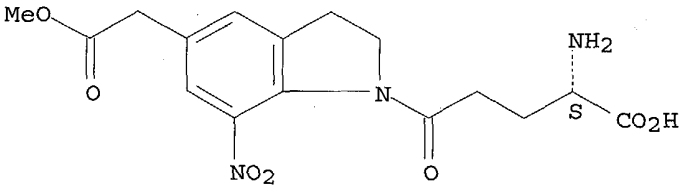
CN 1H-Indole-1-pentanoic acid, 2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)



RN 239135-34-3 CAPLUS

CN 1H-Indole-1-pentanoic acid, .alpha.-amino-2,3-dihydro-5-(2-methoxy-2-oxoethyl)-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

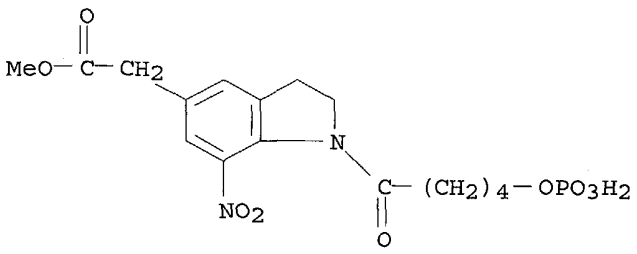
Absolute stereochemistry.



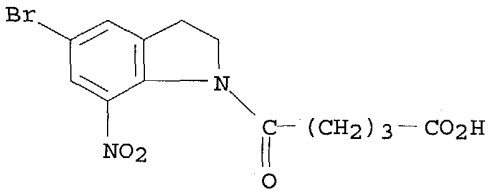
IT **239135-33-2P 239135-35-4P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(prepn. of 1-acyl-7-nitroindolines that photorelease L-glutamate in cerebellar granule neurons)

RN 239135-33-2 CAPLUS

CN 1H-Indole-5-acetic acid, 2,3-dihydro-7-nitro-1-[1-oxo-5-(phosphonooxy)pentyl]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)

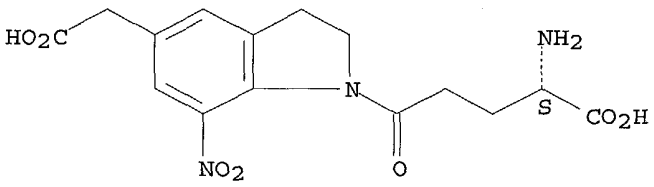


RN 239135-35-4 CAPLUS
CN 1H-Indole-1-pentanoic acid, 5-bromo-2,3-dihydro-7-nitro-.delta.-oxo- (9CI) (CA INDEX NAME)

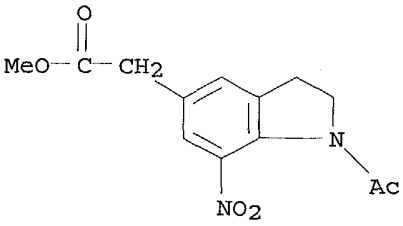


IT **239135-40-1P**
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(prepn. of 1-acyl-7-nitroindolines that photorelease L-glutamate in cerebellar granule neurons)
RN 239135-40-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, .alpha.-amino-5-(carboxymethyl)-2,3-dihydro-7-nitro-.delta.-oxo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **239135-39-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-acyl-7-nitroindolines that photorelease L-glutamate in cerebellar granule neurons)
RN 239135-39-8 CAPLUS
CN 1H-Indole-5-acetic acid, 1-acetyl-2,3-dihydro-7-nitro-, methyl ester (9CI) (CA INDEX NAME)

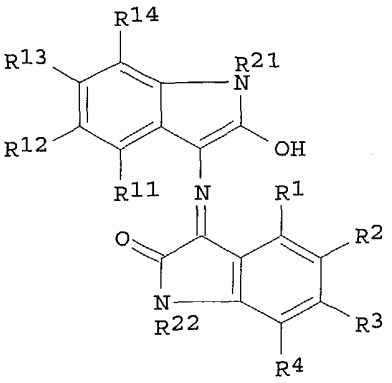


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

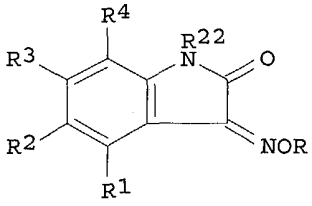
L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:884192 CAPLUS
DOCUMENT NUMBER: 123:285774
TITLE: Preparation of isatin-derivative excitatory **amino acid** receptor antagonists
INVENTOR(S): Watjen, Frank
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 667340	A1	19950816	EP 1995-610002	19950117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5565580	A	19961015	US 1995-372598	19950113
JP 08034771	A2	19960206	JP 1995-10136	19950125

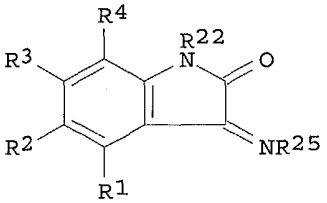
PRIORITY APPLN. INFO.: DK 1994-114 19940127
OTHER SOURCE(S): CASREACT 123:285774; MARPAT 123:285774
GI



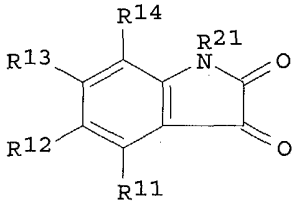
I



II



III



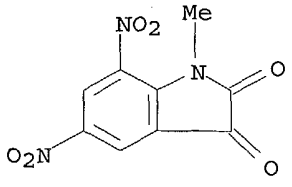
IV

AB The title compds. (I; R1-R4, R11-R14 = H, halogen, CF3, CN, NO2; .gtoreq.1 of which must .noteq. H; for R21 and R22 one is H and the other is alkyl or both are H), useful as excitatory **amino acid** (e.g., NMDA, AMPA) receptor antagonists for the treatment of cerebrovascular diseases (no data), Alzheimer's disease (no data), schizophrenia (no data), Parkinsonism (no data), etc. (no data), are prepd. by heating isatin derivs. (II; R = alkyl, PhCH2; or III; R25 = alkyl, aralkyl) with dihydroindolediones (IV). Thus, 5,7-dinitroindole-2,3-dione and PhCH2NH2 were heated together in AcOH and EtOH, producing I (R1 = R3 = R11 = R13 = R21 = R22 = H, R2 = R4 = R12 = R14 = NO2) (V), m.p. >300.degree.. V demonstrated a ED50 of 0.1 mg/kg (i.v.) for breaking 2-amino-3-(3-hydroxy-5-tert-butyl-4-isoxazolyl)propionic acid-induced rigidity in mice.

IT **136622-60-1**, 5,7-Dinitro-1-methylindole-2,3-dione
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of isatin-deriv. excitatory **amino acid** receptor antagonists)

RN 136622-60-1 CAPLUS

CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro- (9CI) (CA INDEX NAME)



L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:761965 CAPLUS

DOCUMENT NUMBER: 123:340088

TITLE: Isatin oxime derivatives, their preparation and use as antagonists of excitatory **amino**

acids at the AMPA receptor

INVENTOR(S): Waetjen, Frank; Dahl, Bjarne H.; Drejer, Jorgen; Jensen, Lein H.
PATENT ASSIGNEE(S): NeuroSearch A/S, Den.

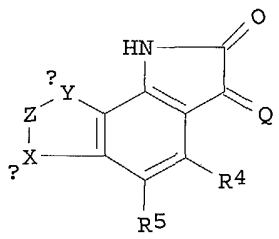
SOURCE: U.S., 8 pp. Cont.-in-part of U.S. 5,242,918.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436250	A	19950725	US 1993-88328	19930707
ZA 9206491	A	19930308	ZA 1992-6491	19920827
AU 9224820	A1	19930405	AU 1992-24820	19920827
AU 655672	B2	19950105		
US 5242918	A	19930907	US 1992-936579	19920827
PL 170920	B1	19970228	PL 1992-302584	19920827
CZ 282759	B6	19970917	CZ 1994-395	19920827
SK 280578	B6	20000410	SK 1994-238	19920827
NO 9400676	A	19940427	NO 1994-676	19940225

PRIORITY APPLN. INFO.: US 1991-751165 B2 19910828
US 1992-831851 B2 19920205
US 1992-936579 A2 19920827
WO 1992-EP1999 A 19920827

OTHER SOURCE(S): MARPAT 123:340088

GI



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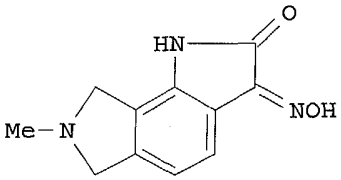
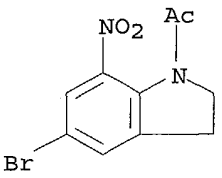


II

AB Isatin oxime derivs. I are claimed wherein R4 and R5 independently are hydrogen, halogen, CF3, CN, NO2 or SO2NR1R2 wherein R1 is hydrogen or C1-6-alkyl which may be straight, branched or cyclic, R2 is hydrogen or C1-6-alkyl which may be straight, branched or cyclic, or wherein R1 and R2 together represent (CH2)nA(CH2)m, wherein A is O, S, CH2 or NRI, wherein RI is H, C1-6-alkyl which may be straight, branched or cyclic, n is 0, 1, 2, 3, 4, 5 and m is 0, 1, 2, 3, 4, 5; Q is NOH, O; Z = O, S, NRII, .alpha.-C(:O)NRIII-.beta., NRIVC(:O)NRV , .alpha.-OC(:O)-.beta., wherein RII, RIII, RIV and RV independently are hydrogen, benzyl, (C:O)CF3, C1-6-acyl, C1-6-alkoxy which may be branched or cyclic, or C1-6-alkyl which may be straight, branched or cyclic, CH2CO2RVI wherein RVI is hydrogen or C1-6-alkyl which may be straight or branched; X is (CH2)o wherein o is 0, 1, 2, or 3; Y is (CH2)p wherein p is 0, 1, 2 or 3; .alpha. and .beta. indicate attachment points. I exhibit valuable biol. properties because of their strong excitatory **amino acid** (EAA) antagonizing properties at the AMPA [(RS)-.alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid] binding site. Thus, e.g., oximation of 7-methyl-1,6,7,8-tetrahydrobenzo[2,1-b:3,4-c']dipyrrole-2,3-dione (prepn. given) with hydroxylamine hydrochloride afforded 7-methyl-1,6,7,8-tetrahydrobenzo[2,1-b:3,4-c']dipyrrole-2,3-dione-3-oxime (II) which exhibited an IC50 of 1.mu.M in the AMPA binding assay.

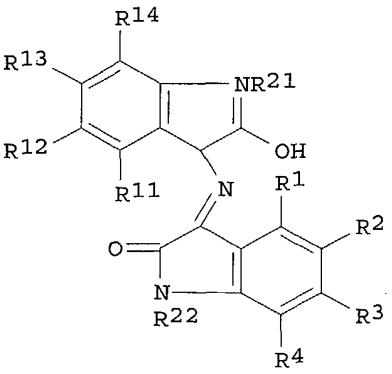
IT **62368-07-4**, 1-Acetyl-5-bromo-7-nitroindoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(isatin oxime derivs., their prepn. and use as antagonists of excitatory **amino acids** at the AMPA receptor)
RN 62368-07-4 CAPLUS
CN 1H-Indole, 1-acetyl-5-bromo-2,3-dihydro-7-nitro- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:416352 CAPLUS
DOCUMENT NUMBER: 122:187389
TITLE: Preparation of 3-(2-oxo-3-indolylideneimino)-2-hydroxyindoles as excitatory **amino acid** antagonists
INVENTOR(S): Waetjen, Frank; Drejer, Jorgen; Jensen, Leif Helth
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 629615	A1	19941221	EP 1994-610030	19940601
EP 629615	B1	20000223		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 189889	E	20000315	AT 1994-610030	19940601
US 5478859	A	19951226	US 1994-259016	19940613

PRIORITY APPLN. INFO.: DK 1993-696 19930614
OTHER SOURCE(S): MARPAT 122:187389
GI



I

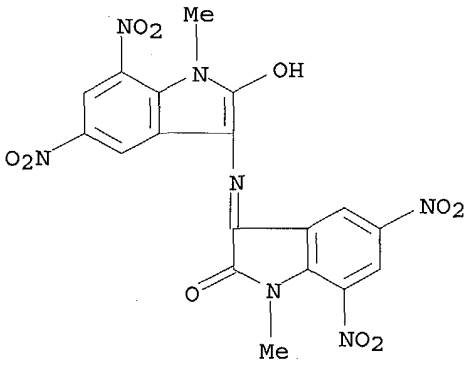
AB Title compds. (I; R1-R4, R11-R14 = H, halo, CF3, cyano, NO2; R21, R22 =

alkyl) were prepd. Thus, 5,7-dinitro-1-methylindole-2,3-dione was refluxed with PhCH2NH2 in EtOH contg. HOAc to give I (R2 = R4 = R12 = R14 = NO2, R21 = R22 = Me) which had ED50 of 0.1mg/kg i.v. against .alpha.-amino-3-hydroxy-5-tert-butyl-4-isoxazolepropionic acid-induced rigidity in mice.

IT **161557-74-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(2-oxo-3-indolylideneimino)-2-hydroxyindoles as excitatory **amino acid** antagonists)

RN 161557-74-0 CAPLUS

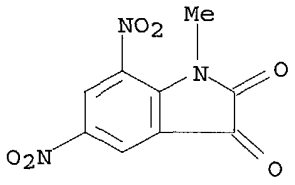
CN 2H-Indol-2-one, 1,3-dihydro-3-[(2-hydroxy-1-methyl-5,7-dinitro-1H-indol-3-yl)imino]-1-methyl-5,7-dinitro- (9CI) (CA INDEX NAME)



IT **136622-60-1 136623-08-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3-(2-oxo-3-indolylideneimino)-2-hydroxyindoles as excitatory **amino acid** antagonists)

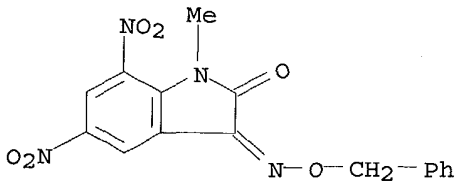
RN 136622-60-1 CAPLUS

CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro- (9CI) (CA INDEX NAME)



RN 136623-08-0 CAPLUS

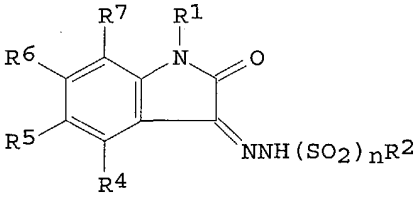
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro-, 3-[O-(phenylmethyl)oxime] (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:633851 CAPLUS
DOCUMENT NUMBER: 117:233851
TITLE: Preparation of hydrazonoindolones as excitatory **amino acid** antagonists
INVENTOR(S): Dahl, Bjarne Hugo; Waetjen, Frank
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 503349	A1	19920916	EP 1992-103104	19920224
EP 503349	B1	19950104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
US 5164404	A	19921117	US 1991-670061	19910315
ZA 9201328	A	19921125	ZA 1992-1328	19920224
ES 2069330	T3	19950501	ES 1992-103104	19920224
AU 9211225	A1	19920917	AU 1992-11225	19920226
AU 643877	B2	19931125		
CA 2062853	AA	19920916	CA 1992-2062853	19920312
NO 9201000	A	19920916	NO 1992-1000	19920313
NO 180191	B	19961125		
NO 180191	C	19970305		
JP 05078350	A2	19930330	JP 1992-55531	19920313
JP 3407896	B2	20030519		

PRIORITY APPLN. INFO.: US 1991-670061 A 19910315
OTHER SOURCE(S): MARPAT 117:233851
GI



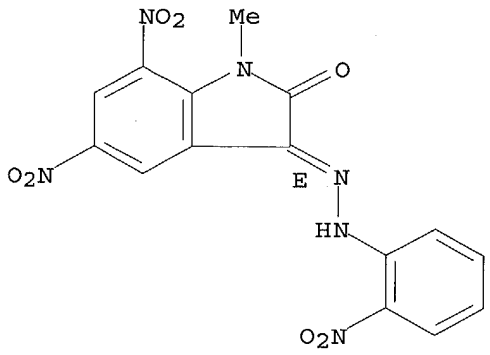
I

AB Title compds. I [n = 0, 1; R1 = H, C1-6 alkyl, C3-7 cycloalkyl, CH2Ph, (substituted) Ph, acyl, OH, C1-6 alkoxy, CH2CO2H, CH2CN, etc.; R2 = (substituted) Ph, -pyridyl; R4 - R7 = H, C1-36 alkyl, Ph, halo, C1-6 alkoxy, NO2, cyano, CF3, SO2NR11R12; R11, R12 = H, CH2Ph, C1-6 alkyl; or R6R7 or R4R5 = atoms to complete a 4-8 membered (substituted) carbocyclic ring] were prepd. for the treatment of disorders responsive to the blockade of glutamic or aspartic receptors. Thus, 5-nitro-1H-6,7,8,9-ttrahydrobenz[g]indole-2,3-dione (prepn. given) and 2-nitrophenylhydrazone were stirred in MeOH contg. HCl to give 5-nitro-1H-6,7,8,9-tetrahydrobenz[g]indole-2,3-dione-3-(2-nitrophenylhydrazone) as a mixt. of E- and Z-isomers. I are said to exhibit binding at 3H-kainate, NMDA, 3H-AMPA and/or 3H-glycine binding sites with IC50's of 1-100 .mu.M.

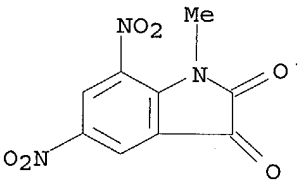
IT **144405-80-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antagonist for excitatory **amino acids**
)

RN 144405-80-1 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro-, 3-[(2-nitrophenyl)hydrazone], (E)- (9CI) (CA INDEX NAME)

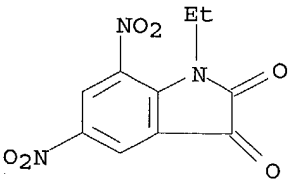
Double bond geometry as shown.



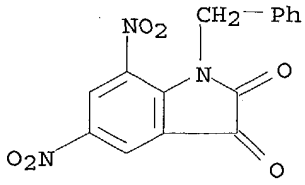
IT **136622-60-1P 136622-61-2P 136622-65-6P 136622-68-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for excitatory **amino acid** antagonists)
RN 136622-60-1 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro- (9CI) (CA INDEX NAME)



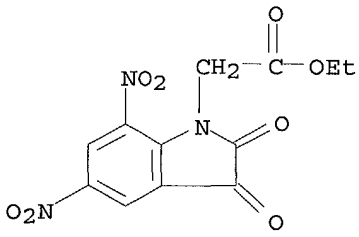
RN 136622-61-2 CAPLUS
CN 1H-Indole-2,3-dione, 1-ethyl-5,7-dinitro- (9CI) (CA INDEX NAME)



RN 136622-65-6 CAPLUS
CN 1H-Indole-2,3-dione, 5,7-dinitro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 136622-68-9 CAPLUS
CN 1H-Indole-1-acetic acid, 2,3-dihydro-5,7-dinitro-2,3-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

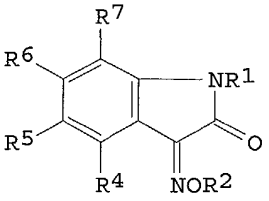


L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:583089 CAPLUS
DOCUMENT NUMBER: 115:183089
TITLE: Preparation of isatin derivatives as central nervous system (CNS) agents
INVENTOR(S): Watjen, Frank; Drejer, Jorgen; Jensen, Leif Helth
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 432648	A2	19910619	EP 1990-123474	19901206
EP 432648	A3	19910925		
EP 432648	B1	19950802		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9009479	A	19910925	ZA 1990-9479	19901126
JP 03204856	A2	19910906	JP 1990-330898	19901130
JP 3057095	B2	20000626		
FI 9005943	A	19910612	FI 1990-5943	19901203
ES 2077623	T3	19951201	ES 1990-123474	19901206
CA 2031756	AA	19910612	CA 1990-2031756	19901207
CA 2031756	C	20020611		
NO 9005320	A	19910612	NO 1990-5320	19901210
NO 174464	B	19940131		
NO 174464	C	19940511		
AU 9067920	A1	19910613	AU 1990-67920	19901210
AU 629075	B2	19920924		
US 5198461	A	19930330	US 1991-710790	19910605

PRIORITY APPLN. INFO.: DK 1989-6248 A 19891211
DK 1989-6470 A 19891219
DK 1990-85 A 19900112

DK 1990-86 A 19900112
DK 1990-363 A 19900212
DK 1990-2093 A 19900831
US 1990-624409 B2 19901207
OTHER SOURCE(S): MARPAT 115:183089
GI



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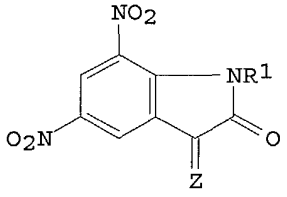
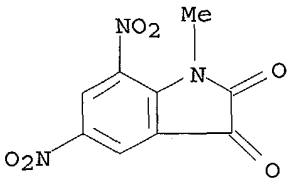


II

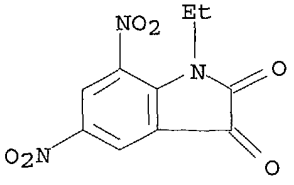
AB Isatin derivs. [I; R1 = H, linear or branched C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, PhCH2, OH, acyl, etc.; R2 = H, PhCH2, linear or branched C1-6 alkyl, C3-7 cycloalkyl; R4-R7 = H, linear or branched C1-6 alkyl, C1-6 alkoxy, Ph, halo, NO2, cyano, etc.], esp. useful in treating CNS conditions sensitive to excitatory **amino acids**. To a stirred soln. of diketone II (R1 = H, Z = O) in DMF was added 55% NaH in mineral oil, followed by MeI with stirring at room temp. to give II (R1 = Me, Z = O), which was treated with MeONH2.HCl and Na2CO3 at room temp. to give oxime II (R1 = Me, Z = MeON). Also prepd. were 54 addnl. I which were effective in treating CNS disorders at 30-100 mg/day.

IT **136622-60-1P 136622-61-2P 136622-65-6P**
136622-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of central nervous agent)

RN 136622-60-1 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro- (9CI) (CA INDEX NAME)

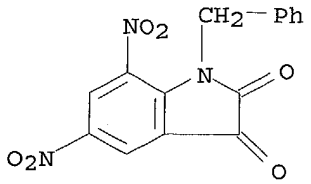


RN 136622-61-2 CAPLUS
CN 1H-Indole-2,3-dione, 1-ethyl-5,7-dinitro- (9CI) (CA INDEX NAME)

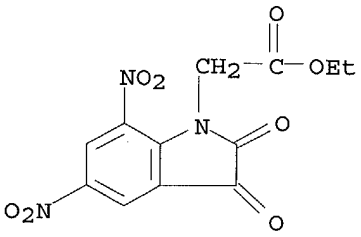


RN 136622-65-6 CAPLUS

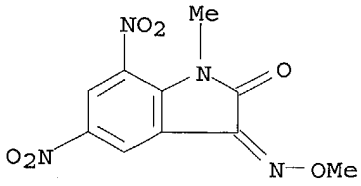
CN 1H-Indole-2,3-dione, 5,7-dinitro-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



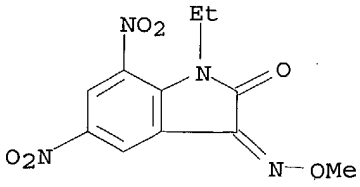
RN 136622-68-9 CAPLUS
CN 1H-Indole-1-acetic acid, 2,3-dihydro-5,7-dinitro-2,3-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **136622-70-3P 136622-72-5P 136622-80-5P**
136622-84-9P 136622-85-0P 136622-90-7P
136623-03-5P 136623-07-9P 136623-08-0P
136623-09-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as central nervous agent)
RN 136622-70-3 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro-, 3-(O-methyloxime) (9CI) (CA INDEX NAME)

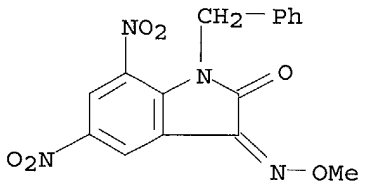


RN 136622-72-5 CAPLUS
CN 1H-Indole-2,3-dione, 1-ethyl-5,7-dinitro-, 3-(O-methyloxime) (9CI) (CA INDEX NAME)

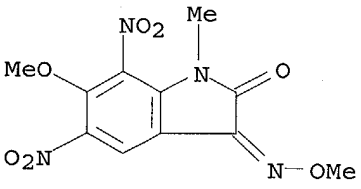


RN 136622-80-5 CAPLUS

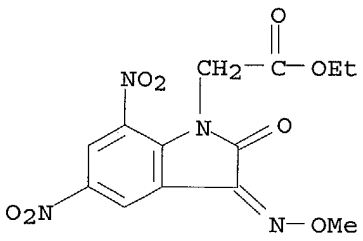
CN 1H-Indole-2,3-dione, 5,7-dinitro-1-(phenylmethyl)-, 3-(O-methyloxime) (9CI) (CA INDEX NAME)



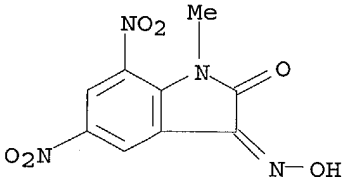
RN 136622-84-9 CAPLUS
CN 1H-Indole-2,3-dione, 6-methoxy-1-methyl-5,7-dinitro-, 3-(O-methyloxime) (9CI) (CA INDEX NAME)



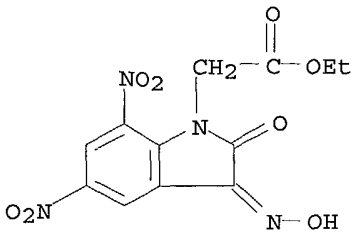
RN 136622-85-0 CAPLUS
CN 1H-Indole-1-acetic acid, 2,3-dihydro-3-(methoxyimino)-5,7-dinitro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



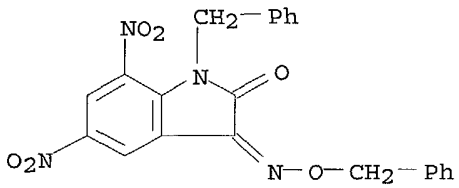
RN 136622-90-7 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro-, 3-oxime (9CI) (CA INDEX NAME)



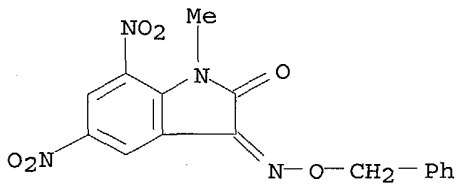
RN 136623-03-5 CAPLUS
CN 1H-Indole-1-acetic acid, 2,3-dihydro-3-(hydroxyimino)-5,7-dinitro-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



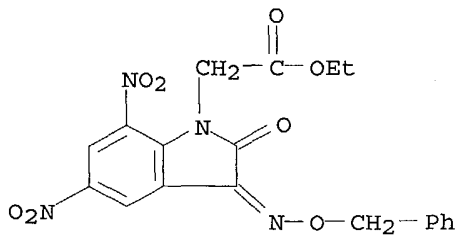
RN 136623-07-9 CAPLUS
CN 1H-Indole-2,3-dione, 5,7-dinitro-1-(phenylmethyl)-, 3-[O-(phenylmethyl)oxime] (9CI) (CA INDEX NAME)



RN 136623-08-0 CAPLUS
CN 1H-Indole-2,3-dione, 1-methyl-5,7-dinitro-, 3-[O-(phenylmethyl)oxime] (9CI) (CA INDEX NAME)



RN 136623-09-1 CAPLUS
CN 1H-Indole-1-acetic acid, 2,3-dihydro-5,7-dinitro-2-oxo-3-[(phenylmethoxy)imino]-, ethyl ester (9CI) (CA INDEX NAME)

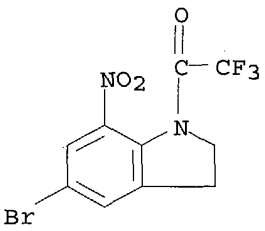


L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1978:191454 CAPLUS
DOCUMENT NUMBER: 88:191454
TITLE: Synthesis of protected peptide acids and esters by photosolvolysis of 1-peptidyl-5-bromo-7-nitroindolines
AUTHOR(S): Goissis, Gilberto; Erickson, Bruce W.; Merrifield, R.

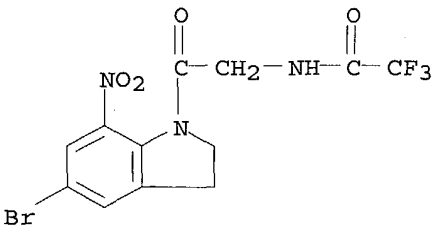
B.
CORPORATE SOURCE: Rockefeller Univ., New York, NY, USA
SOURCE: Pept., Proc. Am. Pept. Symp., 5th (1977), 559-61.
Editor(s): Goodman, Murray; Meienhofer, Johannes.
Wiley: New York, N. Y.
CODEN: 37OBAT
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Me3CO2C-Gly-Val-Bni (I, Bni = 5-bromo-7-nitro-4-indolyl) and Me3CO2C-Leu-Ala-Bni (II) were prepd. in 45-50% yields. Indoline was treated with Me3CO2CNHCHRCO2H (R = Me, CHMe2) to give Me3CO2CNHCHRCOR1 (R1 = 1-indolinyl) which was treated with CF3CO2H, brominating, and nitrating to give CF3CONHCHRCOBni which was deacylated and coupled with the appropriate **amino acid** deriv. to give I or II. The photolysis of I and II in aq. CH2Cl2-dioxane gave 80-1% of Me3CO2C-Gly-Val-OH and Me3CO2C-Leu-Ala-OH. The photolysis in PhCH2OH gave a mixt. of peptide acid and ester.

IT **66414-97-9 66414-98-0 66414-99-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(photolysis of)

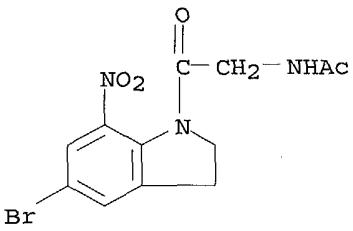
RN 66414-97-9 CAPLUS
CN 1H-Indole, 5-bromo-2,3-dihydro-7-nitro-1-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 66414-98-0 CAPLUS
CN Acetamide, N-[2-(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)-2-oxoethyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

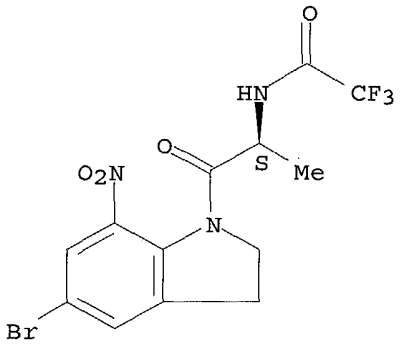


RN 66414-99-1 CAPLUS
CN Acetamide, N-[2-(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



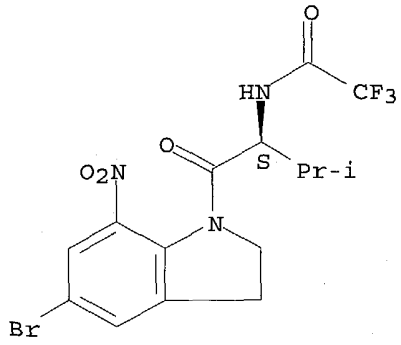
IT **66414-92-4P 66517-34-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deacylation of)
RN 66414-92-4 CAPLUS
CN Acetamide, N-[2-(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)-1-methyl-2-oxoethyl]-2,2,2-trifluoro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 66517-34-8 CAPLUS
CN Acetamide, N-[1-[(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)carbonyl]-2-methylpropyl]-2,2,2-trifluoro-, (S)- (9CI) (CA INDEX NAME)

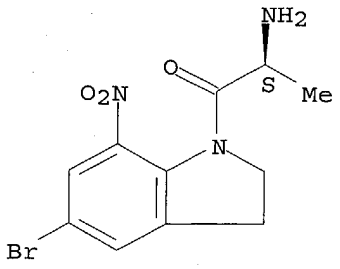
Absolute stereochemistry.



IT **66414-93-5P 66414-94-6P 66415-03-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling with)
RN 66414-93-5 CAPLUS
CN 1H-Indole, 1-(2-amino-1-oxopropyl)-5-bromo-2,3-dihydro-7-nitro-, (S)-

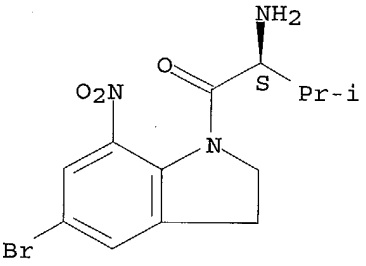
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



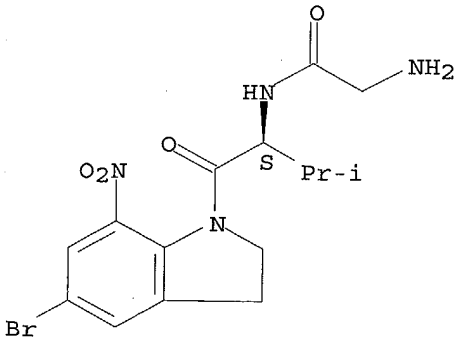
RN 66414-94-6 CAPLUS
CN 1H-Indole, 1-(2-amino-3-methyl-1-oxobutyl)-5-bromo-2,3-dihydro-7-nitro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



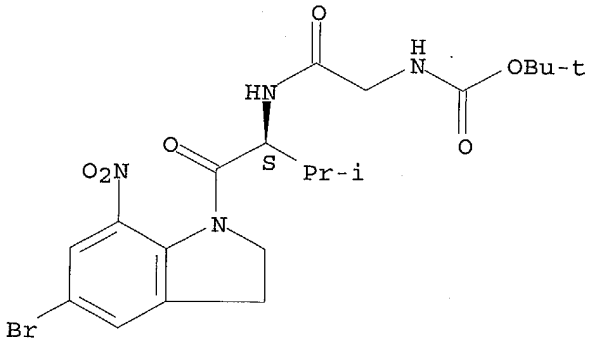
RN 66415-03-0 CAPLUS
CN Acetamide, 2-amino-N-[1-[(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)carbonyl]-2-methylpropyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



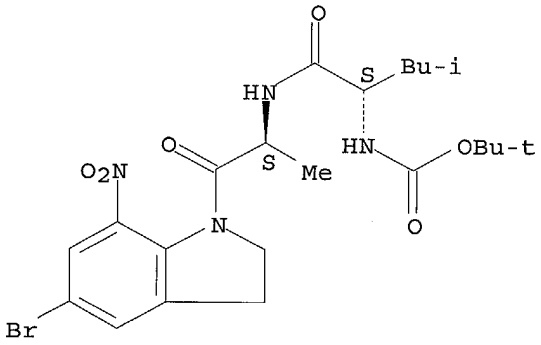
IT **66414-95-7P 66414-96-8P 66415-04-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and photolysis of)
RN 66414-95-7 CAPLUS
CN Carbamic acid, [2-[[1-[(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)carbonyl]-2-methylpropyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

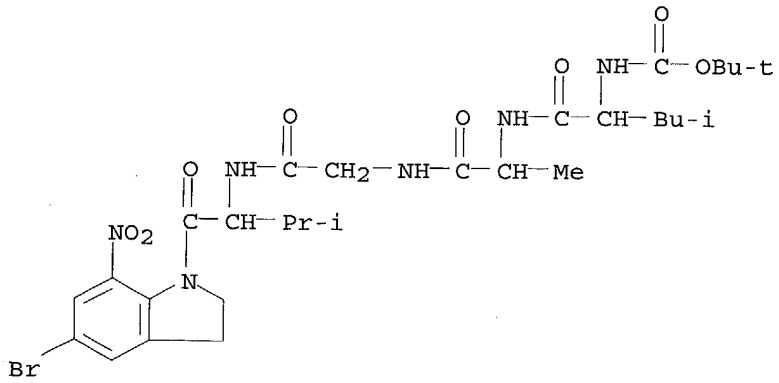


RN 66414-96-8 CAPLUS
CN Carbamic acid, [1-[[[2-(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)-1-methyl-2-oxoethyl]amino]carbonyl]-3-methylbutyl]-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 66415-04-1 CAPLUS
CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-alanyl-N-[1-[(5-bromo-2,3-dihydro-7-nitro-1H-indol-1-yl)carbonyl]-2-methylpropyl]-, (S)- (9CI) (CA INDEX NAME)



=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	95.99	244.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-12.37	-12.37

STN INTERNATIONAL LOGOFF AT 10:21:27 ON 13 AUG 2003